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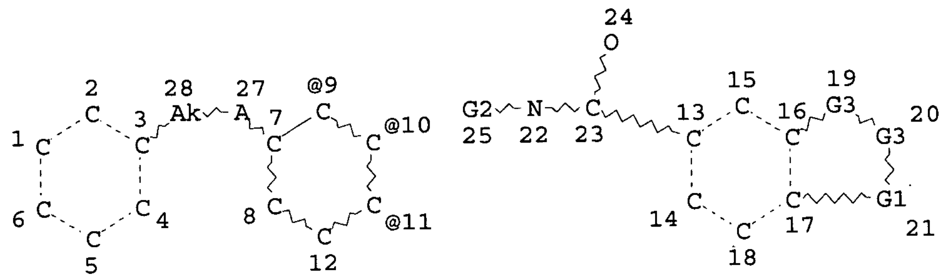

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE


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FULL SCREEN SEARCH COMPLETED -  41106 TO ITERATE

100.0% PROCESSED   41106 ITERATIONS                          10 ANSWERS
SEARCH TIME: 00.00.02

L6          10 SEA SSS FUL L4

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COST IN U.S. DOLLARS                           SINCE FILE      TOTAL
                                                    ENTRY    SESSION
FULL ESTIMATED COST                                301.98     302.19

FILE 'CAPLUS' ENTERED AT 09:33:34 ON 01 APR 2003
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FILE COVERS 1907 - 1 Apr 2003  VOL 138 ISS 14
FILE LAST UPDATED: 31 Mar 2003  (20030331/ED)
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This file contains CAS Registry Numbers for easy and accurate

substance identification.

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=> s l6
L7            2 L6

=> d bib abs hitstr 1-2

L7   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:428896  CAPLUS
DN   137:6088
TI   Preparation of indolecarboxamides as p38-.alpha. inhibitors
IN   Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
PA   Scios Inc., USA
SO   PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE           APPLICATION NO.  DATE
     ---------------    ----  --------       ---------------  --------
PI   WO 2002044168      A2    20020606       WO 2001-US43439  20011120
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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     AU 2002037657      A5    20020611       AU 2002-37657    20011120
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OS   MARPAT 137:6088
GI
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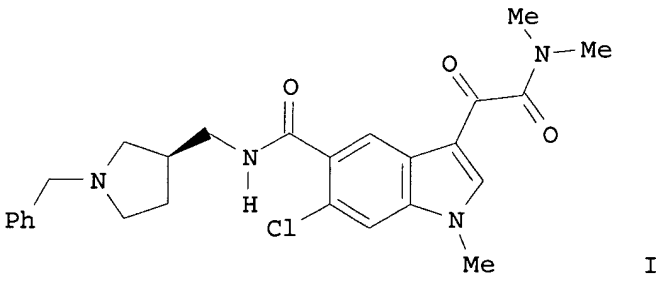


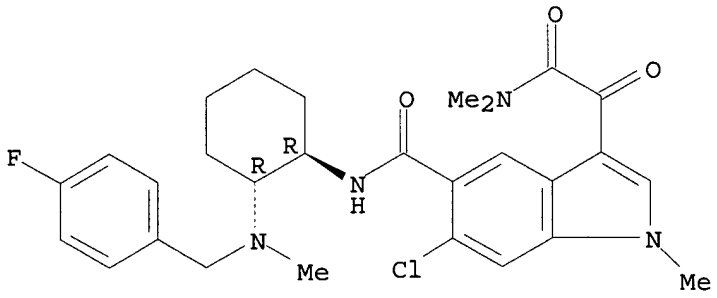
I

AB   Title compds. were prepd. as p38-.alpha. inhibitors (no data). Thus, 6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compd. I.

IT   **433286-58-9P**
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of indolecarboxamides as p38-.alpha. inhibitors)
RN   433286-58-9 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(1R,2R)-2-[[(4-fluorophenyl)methyl]methylamino]cyclohexyl]amino]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
AN 2002:51438 CAPLUS
DN 136:118447
TI Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
IN Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PA Boehringer Ingelheim (Canada) Ltd., Can.
SO PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002065418	A1	20020530	US 2001-898297	20010703
	US 6448281	B2	20020910		
	US 6479508	B1	20021112	US 2001-995099	20011127
	WO 2002070739	A2	20020912	WO 2002-CA323	20020306
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PRAI	US 2000-216084P	P	20000706		
	US 2001-274374P	P	20010308		
	US 2001-281343P	P	20010405		
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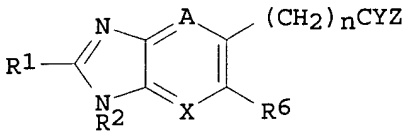
OS MARPAT 136:118447

GI



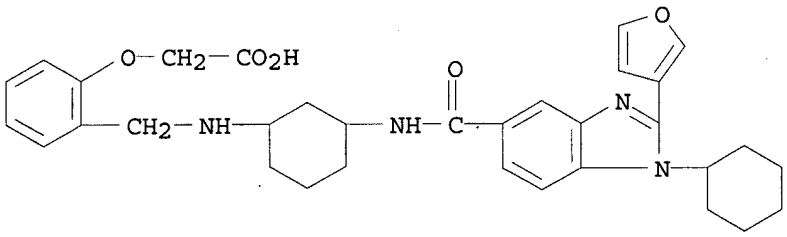
I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 .mu.M.

IT **390813-47-5P 390813-48-6P 390813-52-2P**
390813-53-3P 390813-58-8P 390813-63-5P
390813-68-0P 390813-74-8P 390813-75-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 390813-47-5 CAPLUS

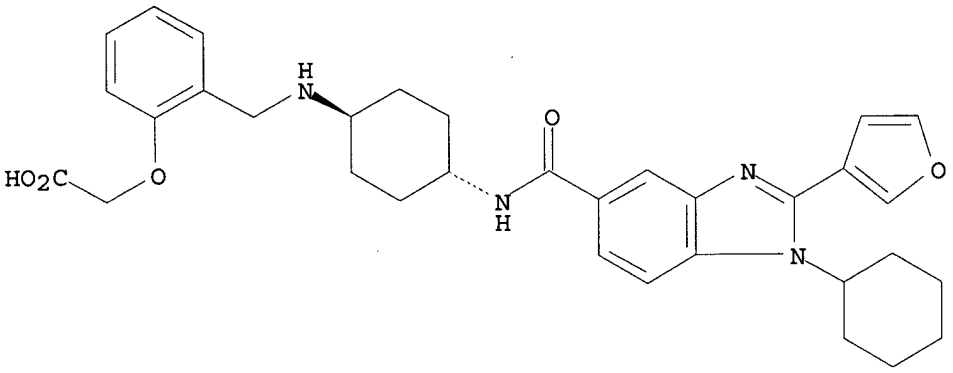
CN Acetic acid, [2-[[[3-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



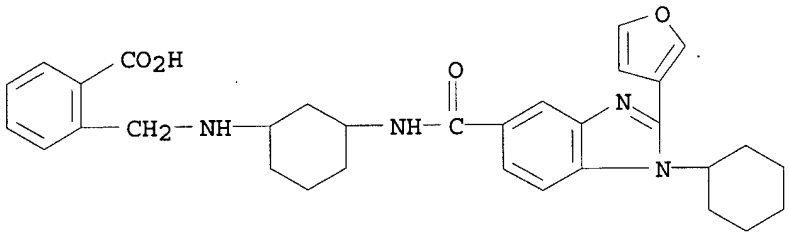
RN 390813-48-6 CAPLUS

CN Acetic acid, [2-[[[trans-4-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

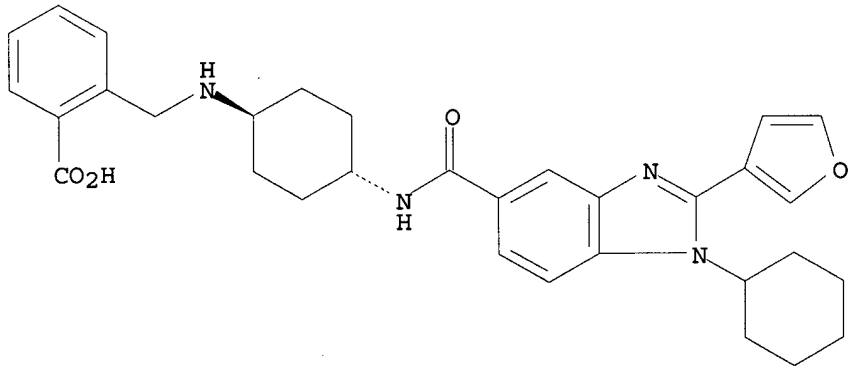


RN 390813-52-2 CAPLUS
CN Benzoic acid, 2-[[[3-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]- (9CI) (CA INDEX NAME)



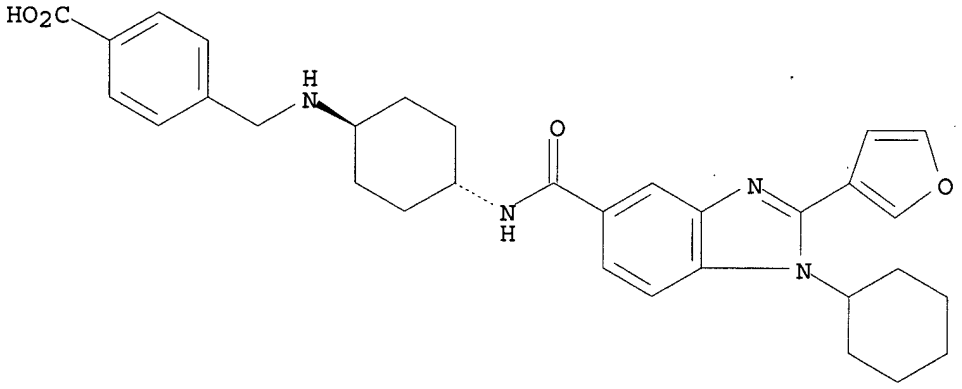
RN 390813-53-3 CAPLUS
CN Benzoic acid, 2-[[[trans-4-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 390813-58-8 CAPLUS
CN Benzoic acid, 4-[[[trans-4-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]- (9CI) (CA INDEX NAME)

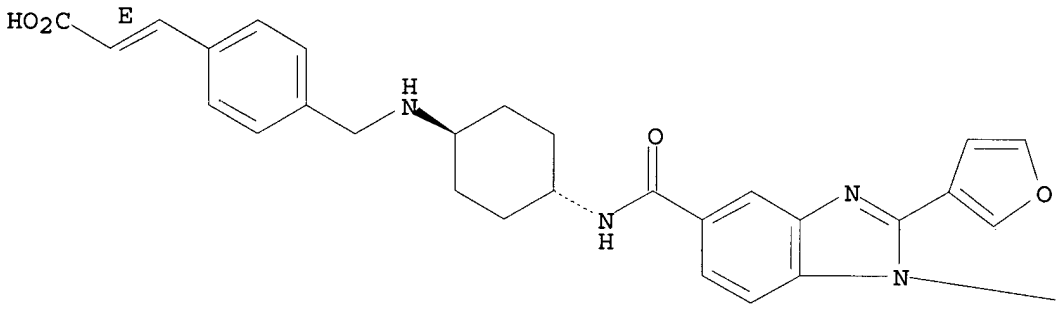
Relative stereochemistry.



RN 390813-63-5 CAPLUS
CN 2-Propenoic acid, 3-[4-[[[trans-4-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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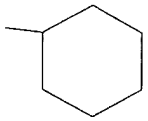
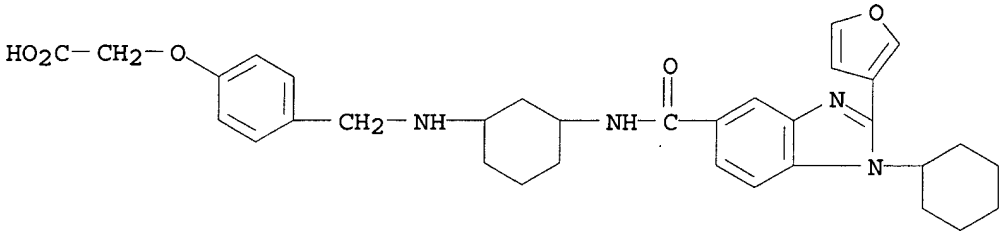
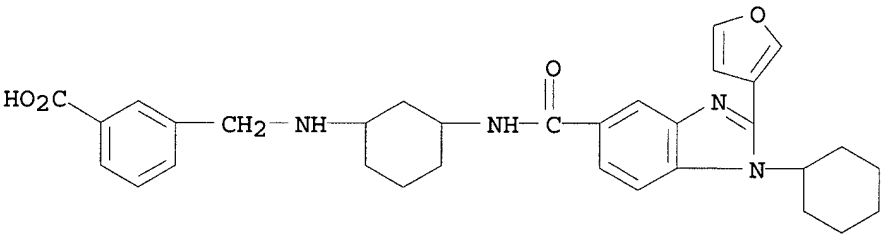


RN 390813-68-0 CAPLUS
CN Acetic acid, [4-[[[3-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

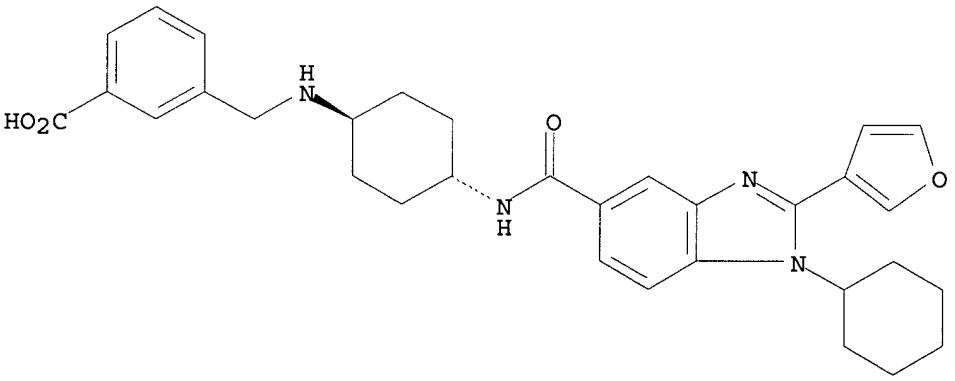


RN 390813-74-8 CAPLUS
CN Benzoic acid, 3-[[[3-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 390813-75-9 CAPLUS
CN Benzoic acid, 3-[[[trans-4-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]cyclohexyl]amino]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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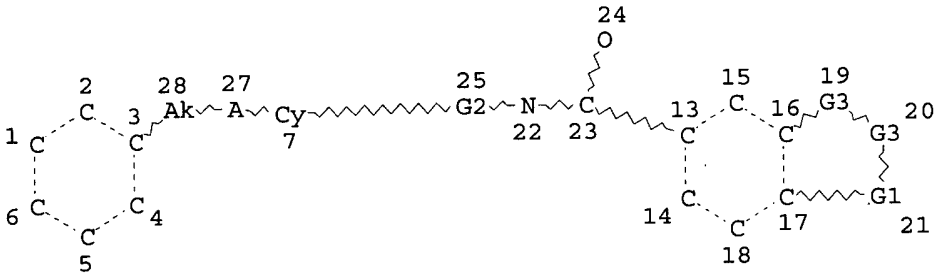

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

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COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms. L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles. The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> s l8 ful
FULL SEARCH INITIATED 09:36:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 172986 TO ITERATE

100.0% PROCESSED 172986 ITERATIONS 56 ANSWERS
SEARCH TIME: 00.00.08

L10 56 SEA SSS FUL L8

=> d his

(FILE 'HOME' ENTERED AT 09:25:53 ON 01 APR 2003)

FILE 'REGISTRY' ENTERED AT 09:26:11 ON 01 APR 2003
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L3 1 S L1 FUL
L4 STRUC
L5 0 S L4
L6 10 S L4 FUL

FILE 'CAPLUS' ENTERED AT 09:33:34 ON 01 APR 2003
L7 2 S L6

FILE 'REGISTRY' ENTERED AT 09:34:56 ON 01 APR 2003
L8 STRUC
L9 1 S L8
L10 56 S L8 FUL

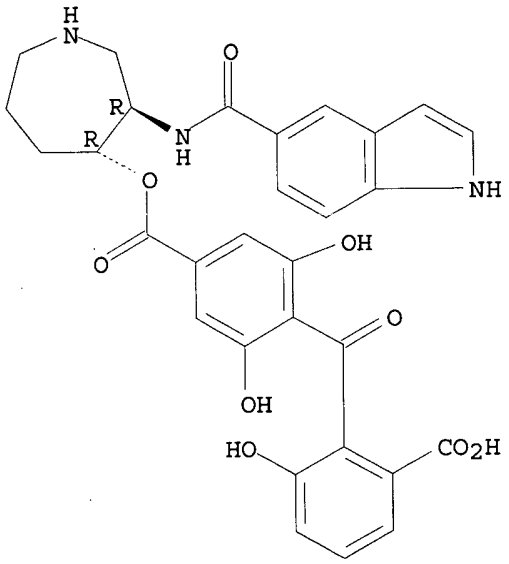
=> s l10 not l6
L11 46 L10 NOT L6

=> d scan

L11 46 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzoic acid, 4-(2-carboxy-6-hydroxybenzoyl)-3,5-dihydroxy-, 1-[hexahydro-3-[(1H-indol-5-ylcarbonyl)amino]-1H-azepin-4-yl] ester, trans-, trifluoroacetate (10:19) (salt) (9CI)
MF C30 H27 N3 O9 . 19/10 C2 H F3 O2

CM 1

Relative stereochemistry.



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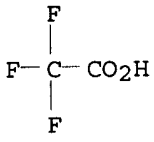

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	151.03	463.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.30

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FILE COVERS 1907 - 1 Apr 2003 VOL 138 ISS 14
FILE LAST UPDATED: 31 Mar 2003 (20030331/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l11
L12 27 L11

=> d bib abs hitstr 1-27

L12 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 2002:964330 CAPLUS
DN 138:39295
TI Preparation of heterocyclic compounds as Rho-kinase inhibitors
IN Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito; Matsui, Kazuki
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 425 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100833	A1	20021219	WO 2002-JP5609	20020606

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	JP 2001-176826	A	20010612
	JP 2001-398992	A	20011228

OS MARPAT 138:39295
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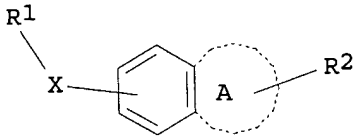


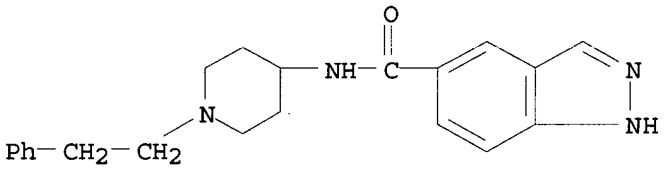
I

AB The title compds. I [wherein one to four groups represented by the general formula R1-X are present and may be the same or different from each other; A is a satd. or unsatd. five-membered heterocycle; X is a single bond, N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepd. N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate in vitro showed IC50 of 0.4 .mu.L/mL against Rho-kinase.

IT **478827-77-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as Rho-kinase inhibitors)

RN 478827-77-9 CAPLUS

CN 1H-Indazole-5-carboxamide, N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 2002:964146 CAPLUS

DN 138:39187

TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.

IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100352	A2	20021219	WO 2002-US21069	20020607

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

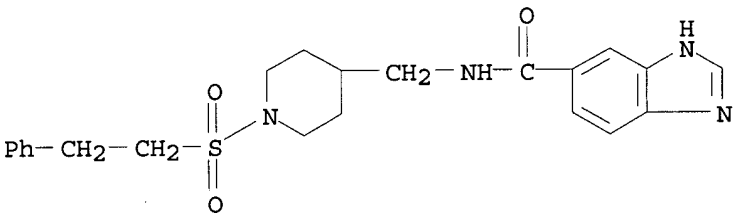
PRAI US 2001-297672P P 20010612

AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (prepn. given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixt. allowed to stir at room temp. for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **471250-30-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 471250-30-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 2002:793427 CAPLUS

DN 137:310932

TI Preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain

IN Liverton, Nigel J.; Butcher, John W.; McIntyre, Charles J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, James A.; Romano, Joseph J.; Thompson, Wayne; Munson, Peter M.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 270 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002080928	A1	20021017	WO 2002-US10269	20020402

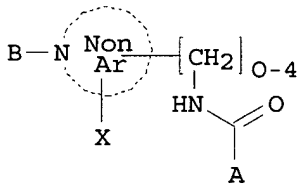
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

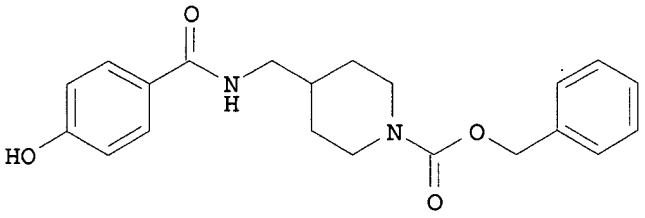
PRAI US 2001-281166P P 20010403

OS MARPAT 137:310932

GI



I



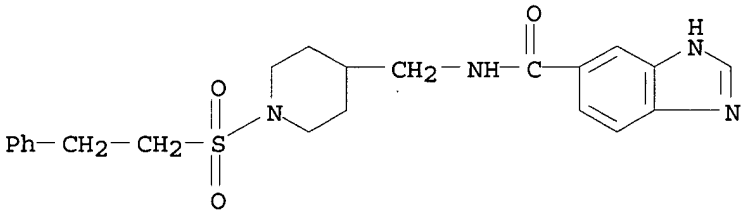
II

AB The title compds. [I; NonAr = nonarom. 5-7 membered contg. heteroatoms; A = (un)substituted Ph, pyrrolyl, imidazolyl, etc.; B = aryl(CH2)0-3(CH2)0-2CO, heteroaryl(CH2)1-3O(CH2)0-2CO, etc.; X = H, OH, F, etc.] which are effective as NMDA NR2B antagonists useful for relieving pain, were prepd. E.g., a 2-step synthesis of II, starting with 4-aminomethylpiperidine, was given. The compds. I exhibit IC50's of less than 50 .mu.M in the FLIPR and binding assays, and thus they have been found to exhibit biol. activity as NMDA NR2B antagonists.

IT **471250-30-3P 471250-89-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain)

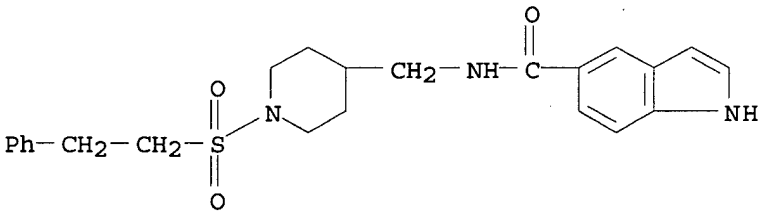
RN 471250-30-3 CAPLUS

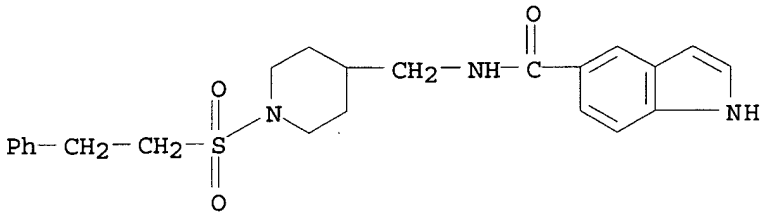
CN 1H-Benzimidazole-5-carboxamide, N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 471250-89-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



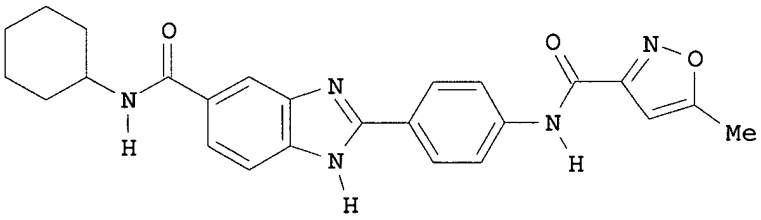


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 2002:716082 CAPLUS
DN 137:232653
TI Preparation of 2-(carboxamidophenyl)benzimidazole-5-carboxamides and analogs as IgE and cell proliferation inhibitors
IN Sircar, Jagadish C.; Richards, Mark L.; Major, Michael W.
PA Avanir Pharmaceuticals, USA
SO PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002072090	A1	20020919	WO 2002-US6801	20020228
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002132808	A1	20020919	US 2002-90044	20020227
PRAI	US 2001-275260P	P	20010312		
	US 2002-90044	A	20020227		

OS MARPAT 137:232653
GI

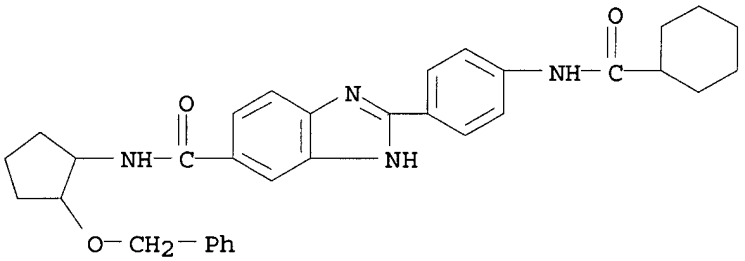


II

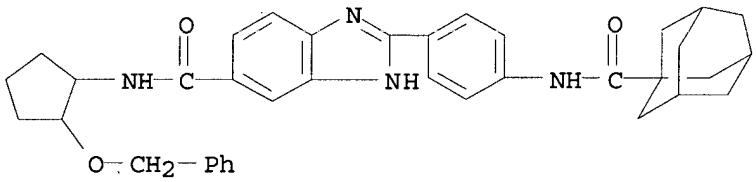
AB RZZ1R5 [I; R = CONR1R2 and R5 = NR3R4 or CONR3R4 or R = NR1COR2 and R5 = CONR3R4; R1,R2 = H, alkyl, (un)substituted (hetero)aryl, etc.; R3,R4 = H, alkyl, (hetero)aryl, alkanoyl, aroyl, etc.; Z = (un)substituted benzimidazole-n,2-diyl; Z1 = (un)substituted phenylene; n = 4-7] were prepd. Thus, 3,4-(H2N)2C6H3CO2H was cyclocondensed with 4-(O2N)C6H4CHO and the product amidated by cyclohexylamine to give, after redn. and amidation, title compd. II. Data for biol. activity of 1 I were given.

IT **459806-79-2P 459806-80-5P 459806-81-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(carboxamidophenyl)benzimidazole-5-carboxamides and analogs as IgE and cell proliferation inhibitors)

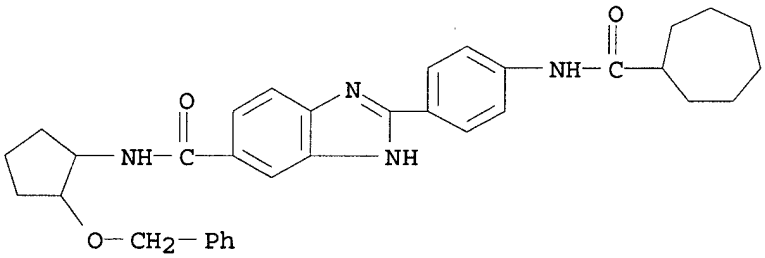
RN 459806-79-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(cyclohexylcarbonyl)amino]phenyl]-N-[2-(phenylmethoxy)cyclopentyl]- (9CI) (CA INDEX NAME)



RN 459806-80-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[2-(phenylmethoxy)cyclopentyl]-2-[4-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 459806-81-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(cycloheptylcarbonyl)amino]phenyl]-N-[2-(phenylmethoxy)cyclopentyl]- (9CI) (CA INDEX NAME)



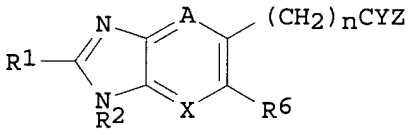
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 2002:51438 CAPLUS
DN 136:118447
TI Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
IN Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PA Boehringer Ingelheim (Canada) Ltd., Can.

SO PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004425	A2	20020117	WO 2001-CA989	20010704
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002065418	A1	20020530	US 2001-898297	20010703
	US 6448281	B2	20020910		
	US 6479508	B1	20021112	US 2001-995099	20011127
	WO 2002070739	A2	20020912	WO 2002-CA323	20020306
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-216084P	P	20000706		
	US 2001-274374P	P	20010308		
	US 2001-281343P	P	20010405		
	US 2001-898297	A3	20010703		

OS MARPAT 136:118447
GI



I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 .mu.M.

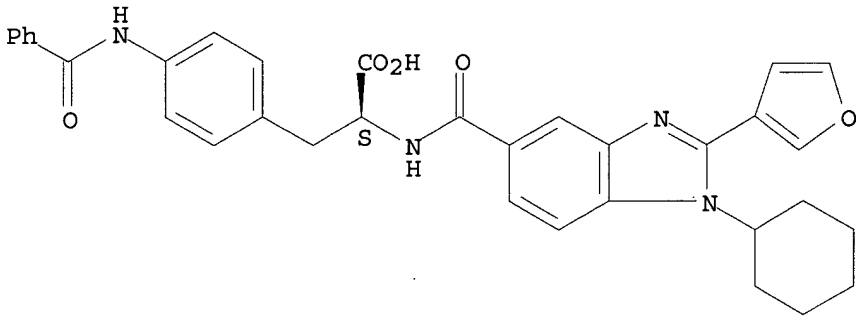
IT **390812-40-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 390812-40-5 CAPLUS

CN L-Phenylalanine, 4-(benzoylamino)-N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 2002:31423 CAPLUS

DN 136:102388

TI Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists

IN Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira; Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DT Patent

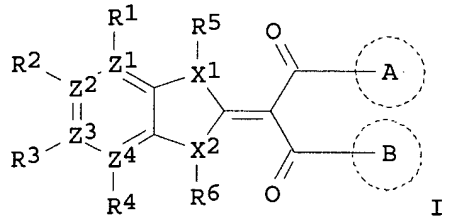
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002533	A1	20020110	WO 2001-JP5813	20010704
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001071022	A5	20020114	AU 2001-71022	20010704
PRAI	JP 2000-204425	A	20000705		
	JP 2001-153372	A	20010523		
	JP 2000-2000204425A		20000705		
	JP 2001-2001153372A		20010523		
	WO 2001-JP5813	W	20010704		

OS MARPAT 136:102388

GI



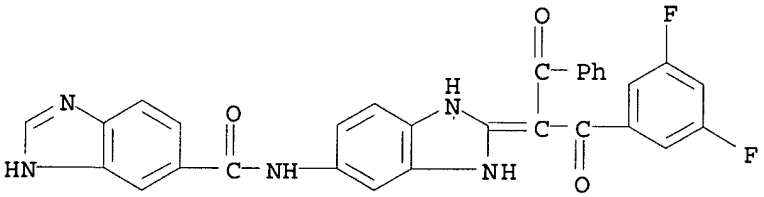
I

AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1 , R2, R3, R4 = H,NO2, cyano, halo, (un)substituted hydrocarbyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)n, H-S(O)n (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbyl or NH2; X1, X2 = N, S, O; A, B = (un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus, K2CO3 and NaI were successively added to a son. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (prepn. given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80.degree. for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC50 of 10-10 to 10-9 M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzoimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equiv. to that of the peptide GnRH antagonist cetrorelix.

IT **388596-30-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

RN 388596-30-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 2000:765431 CAPLUS
DN 133:321906
TI Preparation of phenyldiazepane derivatives or salt thereof having

anticoagulant activity

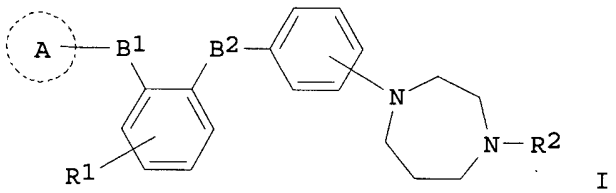
IN Koshio, Hiroyuki; Hirayama, Fukushi; Seki, Norio; Ishihara, Tsukasa; Kanzawa, Keizo; Hachiya, Shunichiro; Taniuchi, Yuta; Matsumoto, Yuzo
PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000302765	A2	20001031	JP 1999-117025	19990423
PRAI JP 1999-117025		19990423		

OS MARPAT 133:321906

GI



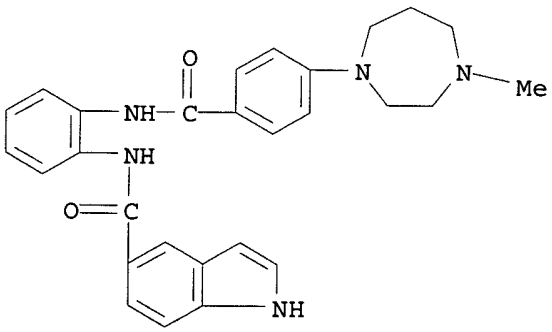
I

AB The title compds. (I; ring A = aryl or heteroaryl optionally having 1-3 substituents; B1 = CO, NR3, NR3CO; B2 = CO, NR4, NR4CO; R1 - R4 = H, lower alkyl) or salts thereof are prepd. as inhibitors of activated blood coagulation factor X which are useful as blood coagulation inhibitors or for the treatment or prevention of diseases caused by thrombosis or embolism (no data). Thus, chlorination of 4-(4-methyl-1,4-diazepan-1-yl)benzoic acid hydrochloride with SOCl2 at 60.degree. for 90 min gave 4-(4-methyl-1,4-diazepan-1-yl)benzoyl chloride which was condensed with 2'-amino-3-cyanobenzanilide in pyridine at room temp. for 2 h to give N-(3-cyanobenzoyl)-N'-[4-(4-methyl-1,4-diazepan-1-yl)benzoyl]-1,2-phenylenediamine.

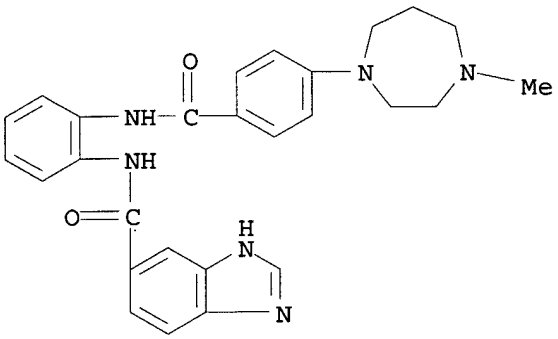
IT **303136-34-7P 303136-40-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenyldiazepane derivs. or salt thereof having anticoagulant activity as blood coagulation inhibitors and antithrombotics)

RN 303136-34-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303136-40-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)

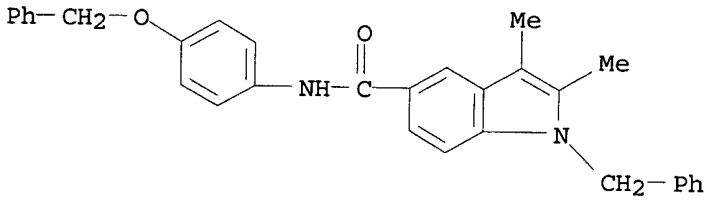


L12 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 2000:258482 CAPLUS
DN 133:117069
TI Dissecting cellular processes using small molecules: identification of colchicine-like, taxol-like and other small molecules that perturb mitosis
AU Haggarty, Stephen J.; Mayer, Thomas U.; Miyamoto, David T.; Fathi, Reza; King, Randall W.; Mitchison, Timothy J.; Schreiber, Stuart L.
CS Harvard Institute of Chemistry and Cell Biology, Harvard Medical School, Boston, MA, 02115, USA
SO Chemistry & Biology (2000), 7(4), 275-286
CODEN: CBOLE2; ISSN: 1074-5521
PB Elsevier Science Ltd.
DT Journal
LA English
AB Background: Understanding the mol. mechanisms of complex cellular processes requires unbiased means to identify and to alter conditionally gene products that function in a pathway of interest. Although random mutagenesis and screening (forward genetics) provide a useful means to this end, the complexity of the genome, long generation time and redundancy of gene function have limited their use with mammalian systems. We sought to develop an analogous process using small mols. to modulate conditionally the function of proteins. We hoped to identify simultaneously small mols. that may serve as leads for the development of therapeutically useful agents. Results: We report the results of a high-throughput, phenotype-based screen for identifying cell-permeable small mols. that affect mitosis of mammalian cells. The predominant class of compds. that emerged directly alters the stability of microtubules in the mitotic spindle. Although many of these compds. show the colchicine-like property of destabilizing microtubules, one member shows the taxol-like property of stabilizing microtubules. Another class of compds. alters chromosome segregation by novel mechanisms that do not involve direct interactions with microtubules. Conclusions: The identification of structurally diverse small mols. that affect the mammalian mitotic machinery from a large library of synthetic compds. illustrates the use of chem. genetics in dissecting an essential cellular pathway. This screen identified five compds. that affect mitosis without directly targeting microtubules. Understanding the mechanism of action of these compds., along with future screening efforts, promises to help elucidate the mol. mechanisms involved in chromosome segregation during mitosis.
IT **284664-29-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(phenotype-based screening of compd. library to identify cell-permeable small mols. that affect mitosis of mammalian cells)

RN 284664-29-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dimethyl-N-[4-(phenylmethoxy)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 2000:227634 CAPLUS

DN 132:265091

TI Preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors

IN Brown, Dearg Sutherland; Brown, George Robert

PA Zeneca Limited, UK

SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2

DT Patent

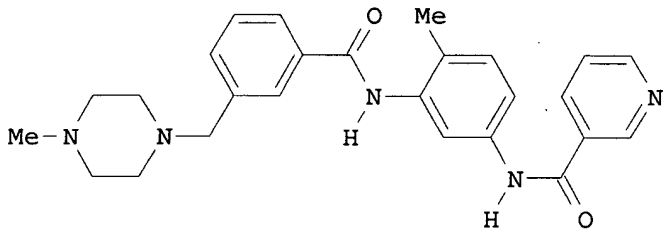
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2340454	AA	20000406	CA 1999-2340454	19990921
	AU 9961034	A1	20000417	AU 1999-61034	19990921
	BR 9913947	A	20010612	BR 1999-13947	19990921
	EP 1115707	A1	20010718	EP 1999-947653	19990921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002525358	T2	20020813	JP 2000-572198	19990921
	NO 2001001492	A	20010523	NO 2001-1492	20010323
	US 6455520	B1	20020924	US 2001-787882	20010323
PRAI	GB 1998-20770	A	19980925		
	GB 1998-26938	A	19981209		
	GB 1999-5969	A	19990317		
	WO 1999-GB3144	W	19990921		

OS MARPAT 132:265091

GI



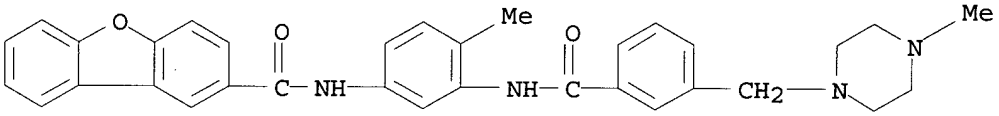
II

AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond , O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepd. as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after redn. and pyridine-3-carbonyl chloride amidation, title compd. II. Data for biol. activity of I were given.

IT **263267-86-3P 263269-03-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine prodn. inhibitors)

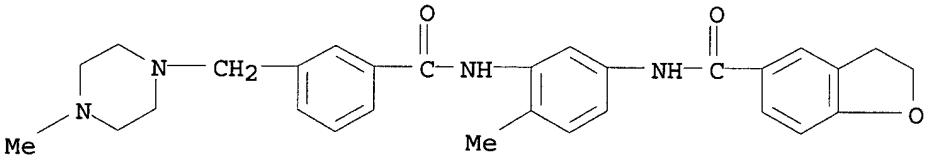
RN 263267-86-3 CAPLUS

CN 2-Dibenzofurancarboxamide, N-[4-methyl-3-[[3-[(4-methyl-1-piperazinyl)methyl]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 263269-03-0 CAPLUS

CN 5-Benzofurancarboxamide, 2,3-dihydro-N-[4-methyl-3-[[3-[(4-methyl-1-piperazinyl)methyl]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 1999:511176 CAPLUS

DN 131:144853

TI Cyclic hexapeptides having antimicrobial activity

IN Ohki, Hidenori; Murano, Kenji; Tojo, Takashi; Shiraishi, Nobuyuki; Matsuya, Takahiro; Matsuda, Hiroshi; Mizuno, Hiroaki; Barrett, David; Matsuda, Keiji; Kawabata, Kohji

PA Fujisawa Pharmaceutical Co., Ltd., Japan; et al.

SO PCT Int. Appl., 470 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9940108	A1	19990812	WO 1999-JP538	19990205
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2320416	AA	19990812	CA 1999-2320416	19990205
	AU 9922998	A1	19990823	AU 1999-22998	19990205
	BR 9907967	A	20001017	BR 1999-7967	19990205
	EP 1053247	A1	20001122	EP 1999-902855	19990205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001522377	T2	20011113	JP 1999-540287	19990205
	ZA 9900985	A	19990810	ZA 1999-985	19990208
	US 6232290	B1	20010515	US 1999-446101	19991222
	NO 2000003996	A	20001009	NO 2000-3996	20000808
PRAI	AU 1998-1728	A	19980209		
	AU 1998-3138	A	19980423		
	WO 1999-JP538	W	19990205		

OS MARPAT 131:144853
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Polypeptides I [R1 = H, (un)substituted arylaminoalkanoyl, aroyl, arylalkanoyl, or alkanoyl, amino protective group, heptylnaphthoyl, hexylnaphthoyl; R2 = H, OH; R3 = OH, hydroxysulfonyloxy, alkoxy; R4 = OH, alkoxy] or their salts were prepd. as antimicrobial activities (esp., antifungal activities). Thus, cyclic peptide II, prepd. via N-acylation using 4-[5-[4-(6-methoxyhexyloxy)phenyl]-1,3,4-thiadiazol-2-yl]benzoic acid benzotriazol-1-yl ester, showed MIC 0.0625 .mu.g/mL for inhibition of Candida albicans.

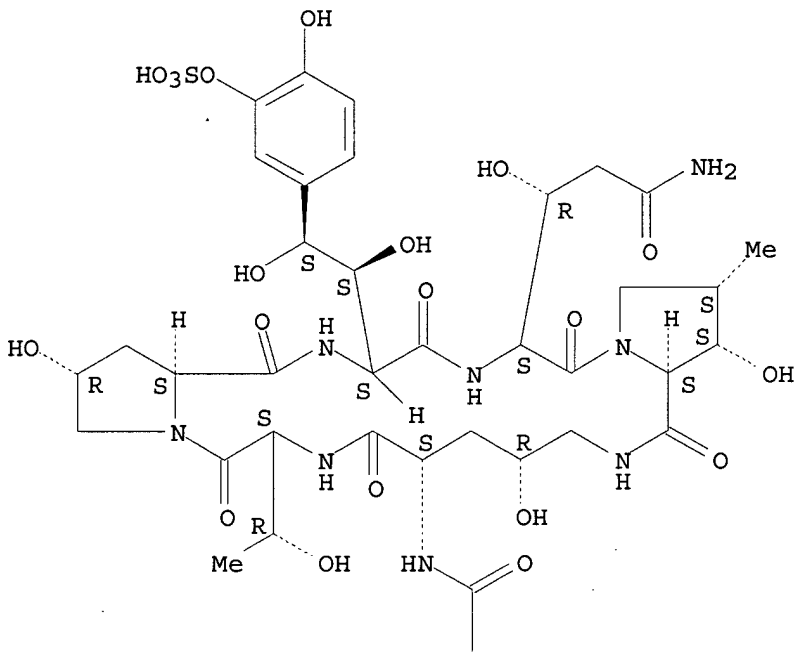
IT **235113-03-8P 235113-04-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic hexapeptides having antimicrobial activity)

RN 235113-03-8 CAPLUS

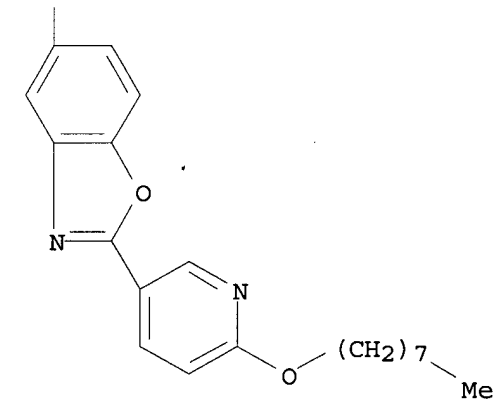
CN Pneumocandin A0, 1-[(4R)-4-hydroxy-N2-[[2-[6-(octyloxy)-3-pyridinyl]-5-benzoxazolyl]carbonyl]-L-ornithine]-4-[(4S)-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]-L-threonine]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



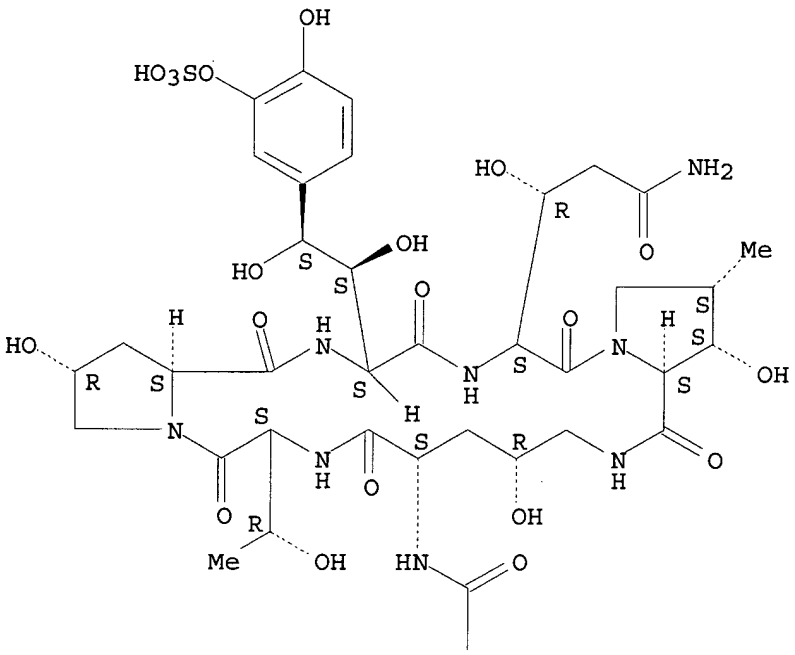
● Na

RN 235113-04-9 CAPLUS

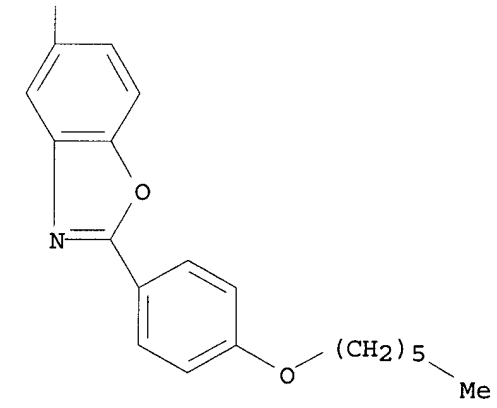
CN Pneumocandin A0, 1-[(4R)-N2-[[2-[4-(hexyloxy)phenyl]-5-benzoxazolyl]carbonyl]-4-hydroxy-L-ornithine]-4-[(4S)-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]-L-threonine]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



● Na

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1999:42576 CAPLUS
DN 130:110286
TI Preparation and formulation of heterocyclic moiety-containing benzamides as antithrombotic agents
IN Beight, Douglas Wade; Craft, Trelia Joyce; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Klimkowski, Valentine Joseph; Kyle, Jeffrey Alan; Masters, John Joseph; Mendel, David; Milot, Guy; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir,

Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2

DT Patent

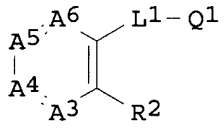
LA English

FAN.CNT 1

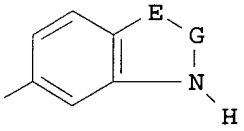
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900128	A1	19990107	WO 1998-US13416	19980626
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9882702	A1	19990119	AU 1998-82702	19980626
	EP 1019045	A1	20000719	EP 1998-932921	19980626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002508771	T2	20020319	JP 1999-505824	19980626
	US 6372759	B1	20020416	US 2000-445969	20000320
	US 2002173518	A1	20021121	US 2002-82453	20020222
	US 6500851	B2	20021231		
PRAI	US 1997-50888P	P	19970626		
	WO 1998-US13416	W	19980626		
	US 2000-445969	A3	20000320		

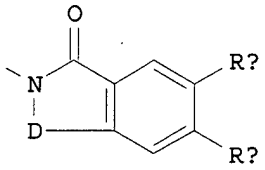
OS MARPAT 130:110286

GI



I

Q10 = 

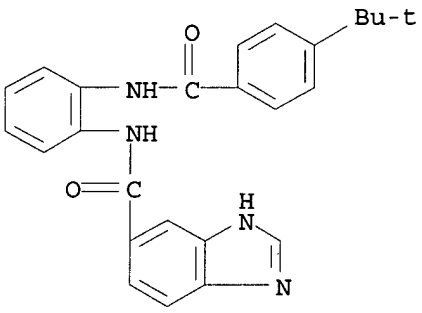
Q20 = 

AB The title compds. I [A3, A4, A5, A6 , together with the two carbons to which they are attached, complete a substituted benzene in which A3 is CR3, A4 is CR4, A5 is CR5, and A6 is CR6; R3 is H, hydroxy, etc.; one of R4 and R5 is H, Me, etc.; the other of R4 and R5 is H, halo, methyl; and R6 is H, F, hydroxy, etc.; or two adjacent residues from R3, R4, R5, and R6 together form a benz ring; and the other two are each H; or A3, A4, A5, and A6 , together with the two carbons to which they are attached, complete a substituted heteroarom. ring; further details on said ring are given; L1 is NHCO or CONH such that L1Q1 is NHCOQ1 or CONHQ1; Q1 = Q10; EGNH is CH2CH2NH, etc.; R2 is LQ2, etc.; L = direct bond; Q2 = Q20; D is carbonyl, etc.; one of Rm and Rn is H and the other is amino, etc.] are prepd. I are inhibitors of factor Xa. For Kass detns., 1.34 nM human factor Xa is used to hydrolyze 0.18 mM BzIle-GLu-Gly-Arg-pNA; 5.9 nM human thrombin or 1.4 nN bovine trypsin is used to hydrolyze 0.2 mM BzPhe-Val-Arg-pNA; 3.4 nM human plasmin is used with 0.5 mM

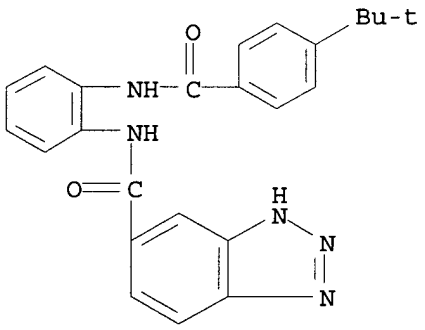
HD-Val-Leu-Lys-pNA; 1.2 nM human nt-PA is used with 0.81 mM HD-Ile-Pro-Arg-pNA; and 0.37 nM urokinase is used with 0.30 mM pyro-gfsGlu-Gly-Arg-pNA; in general, a factor Xa inhibiting compd. of this invention exhibits a Kass of 0.1 to 0.5 x 106 L/mol or much greater.

IT **219507-16-1P 219507-18-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic moiety-contg. benzamides as antithrombotic agents)

RN 219507-16-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[2-[[4-(1,1-dimethylethyl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)

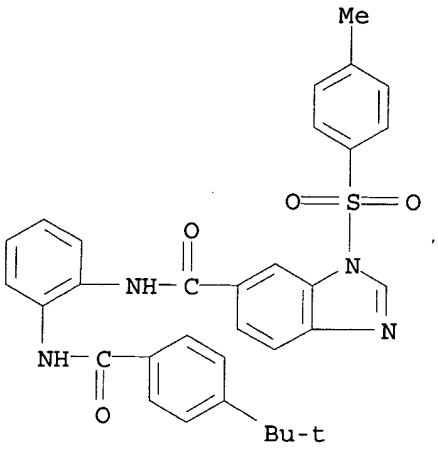


RN 219507-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[2-[[4-(1,1-dimethylethyl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT **219507-84-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic moiety-contg. benzamides as antithrombotic agents)

RN 219507-84-3 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N-[2-[[4-(1,1-dimethylethyl)benzoyl]amino]phenyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

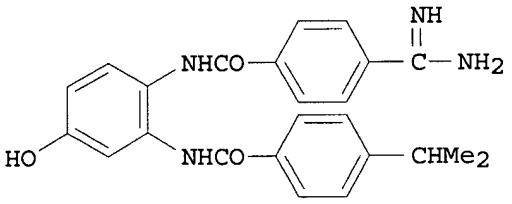


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1999:42575 CAPLUS
DN 130:95393
TI Dibenzoylbenzenediamines as antithrombotic agents
IN Beight, Douglas Wade; Craft, Trelia Joyce; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900127	A1	19990107	WO 1998-US13424	19980626
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9882706	A1	19990119	AU 1998-82706	19980626
	EP 1007037	A1	20000614	EP 1998-932926	19980626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002510313	T2	20020402	JP 1999-505827	19980626
	US 6417200	B1	20020709	US 2000-445970	20000509
PRAI	US 1997-50885P	P	19970626		
	WO 1998-US13424	W	19980626		

OS MARPAT 130:95393
GI



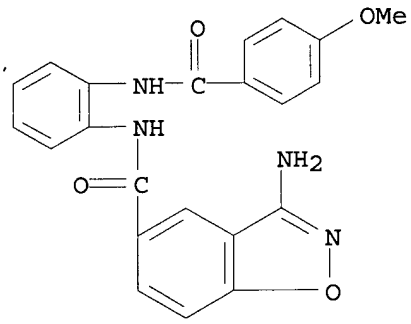
I

AB Title compds. were prepd. for use as inhibitors of factor Xa (no data). Thus, 4-amino-3-nitro phenol was silylated and acylated with 3-NCC6H4COCl to give 3-NCC6H4CONHC6H4(OSiMe2CMe3)NO2-4,2 which was reduced to the amine, acylated with 4-Me2CHC6H4COCl and desilylated to give 1-(3-NCC6H4CONH)C6H4(OH)(NHCOC6H4CHMe2-4)-4,2. This compd. was treated with NH2OH and then hydrogenated to give the diamide I.

IT **219520-03-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dibenzoylbenzenediamines as antithrombotic agents)

RN 219520-03-3 CAPLUS

CN 1,2-Benzisoxazole-5-carboxamide, 3-amino-N-[2-[(4-methoxybenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)

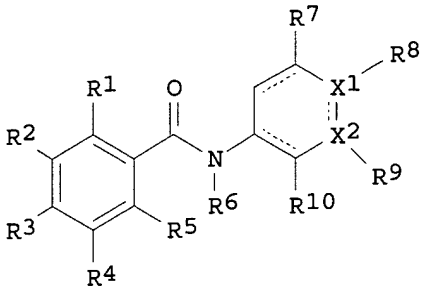


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

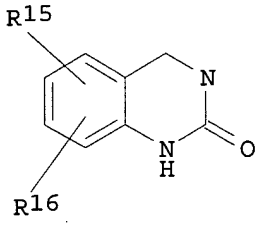
L12 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1998:631744 CAPLUS
DN 129:310895
TI Benzamide compounds and their use as neovascularization inhibitors
IN Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki
PA Japan Tobacco, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10259176	A2	19980929	JP 1997-84463	19970317
PRAI	JP 1997-84463		19970317		

OS MARPAT 129:310895
GI



I



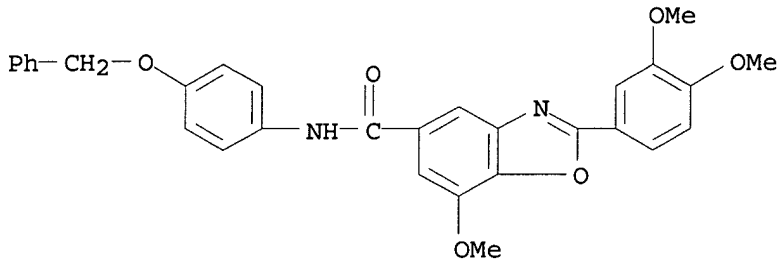
II

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-oxazole ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-oxazole, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl); R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-oxazole ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 .mu.M.

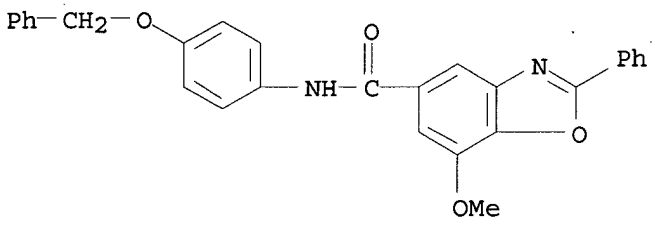
IT **214845-74-6P 214845-75-7P 214845-77-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted-benzamides as neovascularization inhibitors)

RN 214845-74-6 CAPLUS

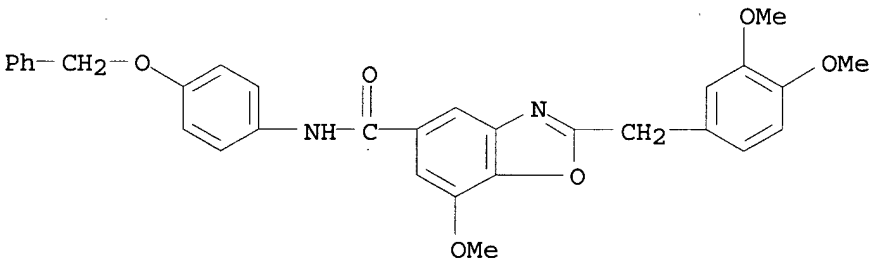
CN 5-Benzoxazolecarboxamide, 2-(3,4-dimethoxyphenyl)-7-methoxy-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 214845-75-7 CAPLUS
CN 5-Benzoxazolecarboxamide, 7-methoxy-2-phenyl-N-[4-(phenylmethoxy)phenyl]-(9CI) (CA INDEX NAME)



RN 214845-77-9 CAPLUS
CN 5-Benzoxazolecarboxamide, 2-[(3,4-dimethoxyphenyl)methyl]-7-methoxy-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1998:236274 CAPLUS
DN 128:282780
TI Preparation of heterocyclic inhibitors of microsomal triglyceride transfer protein
IN Biller, Scott A.; Dickson, John K.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael A.; Sulsky, Richard B.; Tino, Joseph A.
PA Bristol-Myers Squibb Co., USA
SO U.S., 185 pp., Cont.-in-part of U.S. Ser. No. 391,901, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5739135	A	19980414	US 1995-472067	19950606
	CA 2091102	AA	19930907	CA 1993-2091102	19930305
	HU 67962	A2	19950529	HU 1993-627	19930305
	HU 218419	B	20000828		
	JP 06038761	A2	19940215	JP 1993-46499	19930308

	EP 584446	A2	19940302	EP 1993-103697	19930308
	EP 584446	A3	19950426		
	EP 584446	B1	20020619		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 219514	E	20020715	AT 1993-103697	19930308
	ES 2178640	T3	20030101	ES 1993-103697	19930308
	AU 670930	B2	19960808	AU 1993-34064	19930309
	AU 9334064	A1	19930909		
	US 5595872	A	19970121	US 1993-117362	19930903
	US 5789197	A	19980804	US 1995-486924	19950607
	US 6492365	B1	20021210	US 1995-486929	19950607
	US 5712279	A	19980127	US 1996-548811	19960111
	IL 116917	A1	20000831	IL 1996-116917	19960126
	CA 2213466	AA	19960829	CA 1996-2213466	19960201
	WO 9626205	A1	19960829	WO 1996-US824	19960201
	W: AU, BG, CA, CN, CZ, EE, FI, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9647631	A1	19960911	AU 1996-47631	19960201
	AU 699865	B2	19981217		
	CN 1176640	A	19980318	CN 1996-192015	19960201
	EP 886637	A1	19981230	EP 1996-903604	19960201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 11500442	T2	19990112	JP 1996-525679	19960201
	NZ 302055	A	20000228	NZ 1996-302055	19960201
	ZA 9601340	A	19970911	ZA 1996-1340	19960220
	US 5883099	A	19990316	US 1997-896872	19970721
	US 6034098	A	20000307	US 1997-898304	19970721
	US 6066650	A	20000523	US 1997-898303	19970721
	FI 9703416	A	19970820	FI 1997-3416	19970820
	NO 9703821	A	19970820	NO 1997-3821	19970820
	LT 4367	B	19980825	LT 1997-152	19970919
	LV 11951	B	19981120	LV 1997-171	19970919
PRAI	US 1993-117362	A2	19930903		
	US 1994-284808	B2	19940805		
	US 1995-391901	B2	19950221		
	US 1992-847503	A	19920306		
	US 1993-15449	B2	19930222		
	US 1995-472067	A2	19950606		
	WO 1996-US824	W	19960201		
OS	MARPAT 128:282780				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

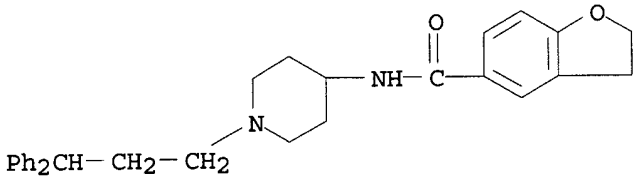
AB The title compds. [I-V; Q = C(O), S(O)2; X = CHR8, C(O), CHR9CHR10, CR9:CR10 (wherein R8-R10 = H, alkyl, alkenyl, etc.); Y = (CH2)m, C(O) (m = 2-3); R1 = alkyl, alkenyl, alkynyl, etc.; R2-R4 = H, halo, alkyl, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; R6 = H, C1-4 alkyl, C1-4 alkenyl] which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and treating atherosclerosis and related diseases such as hyperglycemia and obesity, were prepd. Thus, reaction of 1-(3,3-diphenylpropyl)-4-piperidinamine.HCl (prepn. described) with benzoyl chloride in the presence of Et3N in CH2Cl2 afforded 84% the title compd. III.HCl [Q = C(O); R1 = 3,3-diphenylpropyl; R5 = Ph; R6 = H]. Compds. I-V are effective at 5-500 mg/day.

IT **163267-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic inhibitors of microsomal triglyceride transfer protein)

RN 163267-06-9 CAPLUS

CN 5-Benzofurancarboxamide, N-[1-(3,3-diphenylpropyl)-4-piperidinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 1996:462227 CAPLUS

DN 125:115150

TI Cyclic hexapeptides having antibiotic activity

IN Ohki, Hidenori; Tomishima, Masaki; Yamada, Akira; Takasugi, Hisashi

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 273 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611210	A1	19960418	WO 1995-JP1983	19950929
	W: AU, CA, CN, FI, HU, JP, KR, MX, NO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2202058	AA	19960418	CA 1995-2202058	19950929
	AU 9535780	A1	19960502	AU 1995-35780	19950929
	AU 696949	B2	19980924		
	EP 788511	A1	19970813	EP 1995-932935	19950929
	EP 788511	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1168675	A	19971224	CN 1995-196643	19950929
	JP 10507174	T2	19980714	JP 1995-512472	19950929
	JP 2897427	B2	19990531		
	HU 77736	A2	19980728	HU 1998-338	19950929
	JP 10324695	A2	19981208	JP 1998-136756	19950929
	RU 2165423	C2	20010420	RU 1997-107338	19950929
	AT 229541	E	20021215	AT 1995-932935	19950929
	IL 115484	A1	20000716	IL 1995-115484	19951002
	ZA 9508458	A	19960507	ZA 1995-8458	19951006
	BR 9504791	A	19961022	BR 1995-4791	19951006
	FI 9701397	A	19970527	FI 1997-1397	19970404
	NO 9701544	A	19970604	NO 1997-1544	19970404
	US 6107458	A	20000822	US 1997-809723	19970521
	US 6265536	B1	20010724	US 1999-248267	19990211
PRAI	GB 1994-20425	A	19941007		
	GB 1995-8745	A	19950428		
	JP 1996-512472	A3	19950929		
	WO 1995-JP1983	W	19950929		
	US 1997-809723	A3	19970521		

OS MARPAT 125:115150

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

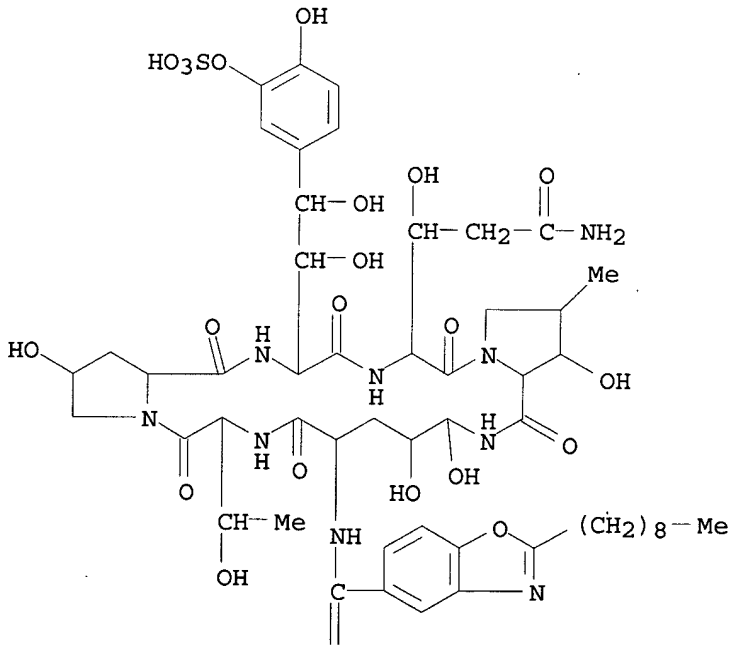
AB The invention relates to new cyclic polypeptide derivs. I [R1 = variety of substituted acyl groups] and their pharmaceutically acceptable salts. The compds. have antimicrobial activities (esp., antifungal activities) and inhibitory activity on .beta.-1,3-glucan synthase (no data), and are useful for prophylactic and/or therapeutic treatment of infectious diseases including Pneumocystis carinii infection (e.g., P. carinii pneumonia). Examples include 124 compds. I, plus 346 precursor prepns. For instance, reaction of the precursor I.Na [R1 = H] with 1-[6-[(octyloxy)methyl]picolinoyl]benzotriazole 3-oxide in DMF in the presence of DMAP gave title compd. I [R1 = Q1]. In a test against Candida albicans FP-633 in vitro, I [R1 = Q2] had MIC of 0.2 .mu.g/mL.

IT **179165-58-3P 179165-59-4P 179165-74-3P**
179165-91-4P 179165-94-7P 179165-95-8P
179166-59-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic hexapeptides active against fungi and Pneumocystis carinii)

RN 179165-58-3 CAPLUS

CN Proline, 4,5-dihydroxy-N2-[(2-nonyl-5-benzoxazolyl)carbonyl]ornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

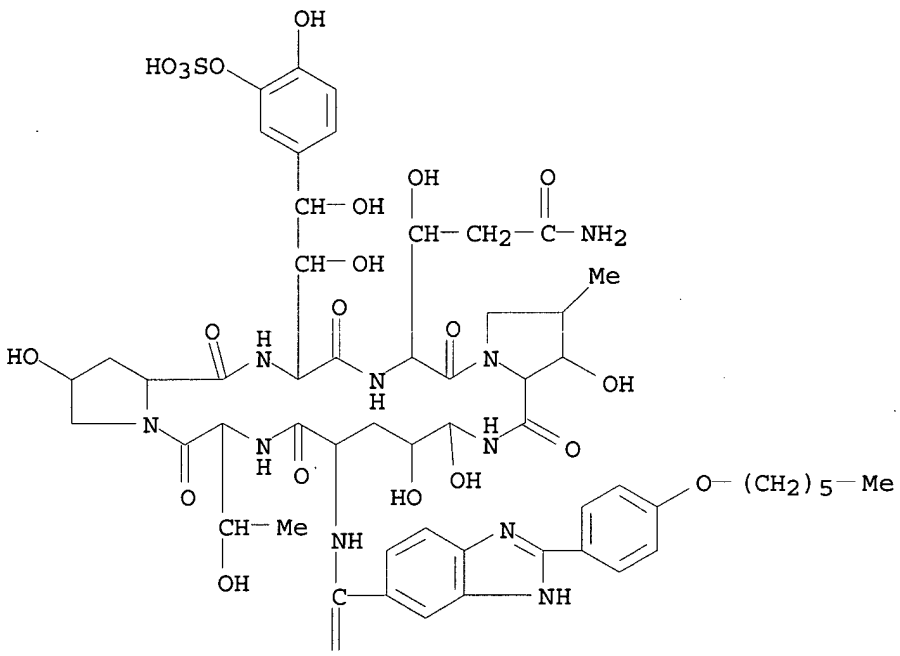


PAGE 2-A

● Na

RN 179165-59-4 CAPLUS
CN Proline, N2-[[2-[4-(hexyloxy)phenyl]-1H-benzimidazol-5-yl]carbonyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

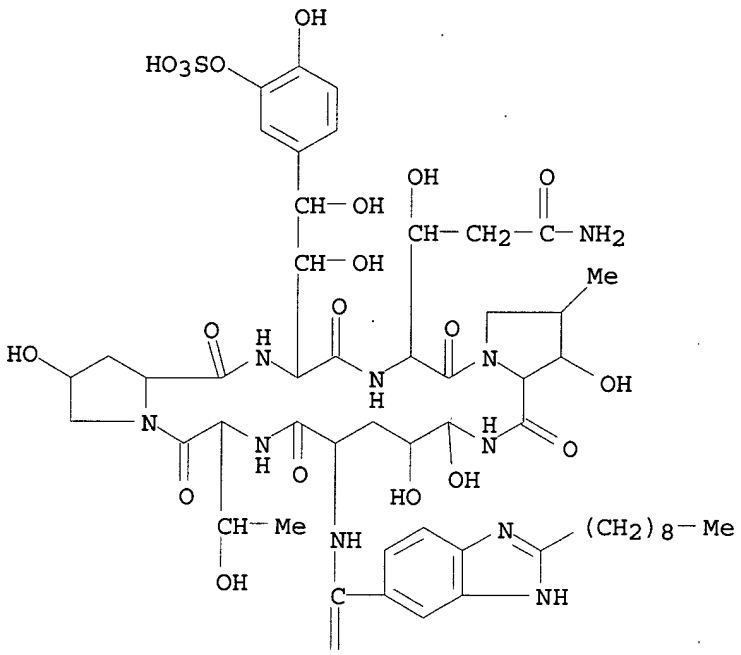


PAGE 2-A

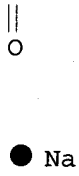
● Na

RN 179165-74-3 CAPLUS
CN Proline, 4,5-dihydroxy-N2-[(2-nonyl-1H-benzimidazol-5-yl)carbonyl]ornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



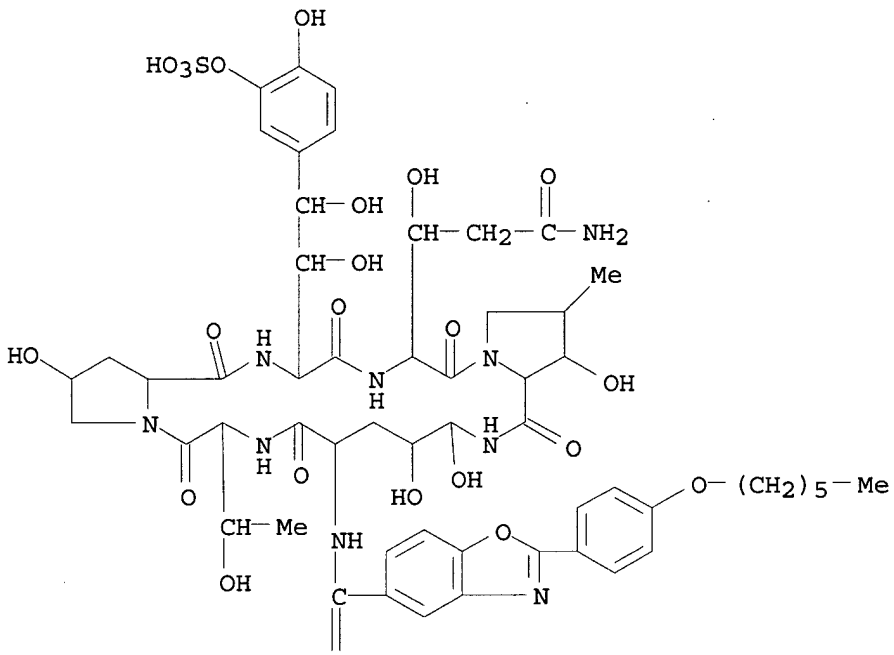
PAGE 2-A



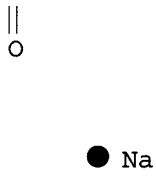
RN 179165-91-4 CAPLUS

CN Proline, N2-[[2-[4-(hexyloxy)phenyl]-5-benzoxazolyl]carbonyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



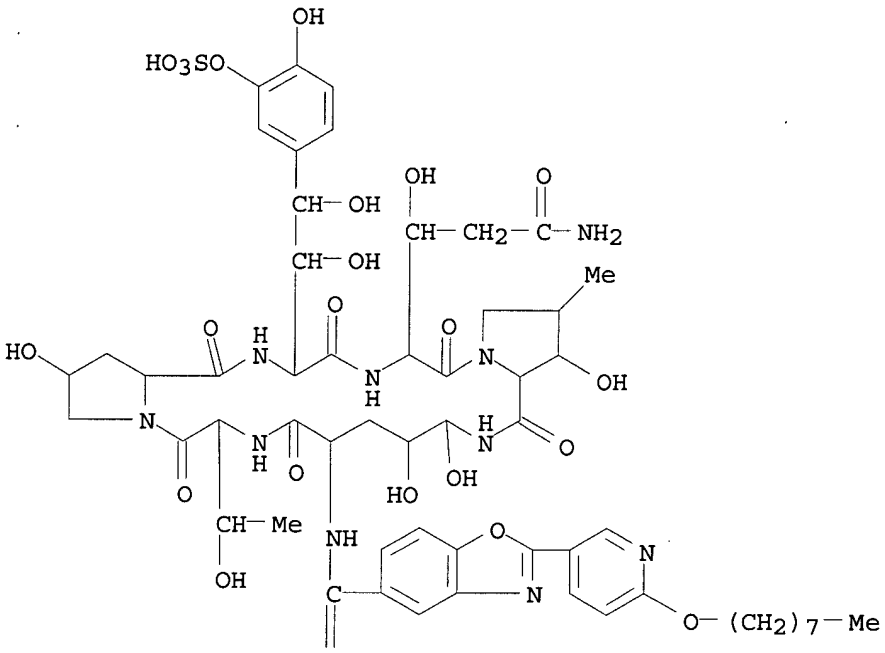
PAGE 2-A



RN 179165-94-7 CAPLUS

CN Proline, 4,5-dihydroxy-N2-[[2-[6-(octyloxy)-3-pyridinyl]-5-benzoxazolyl]carbonyl]ornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

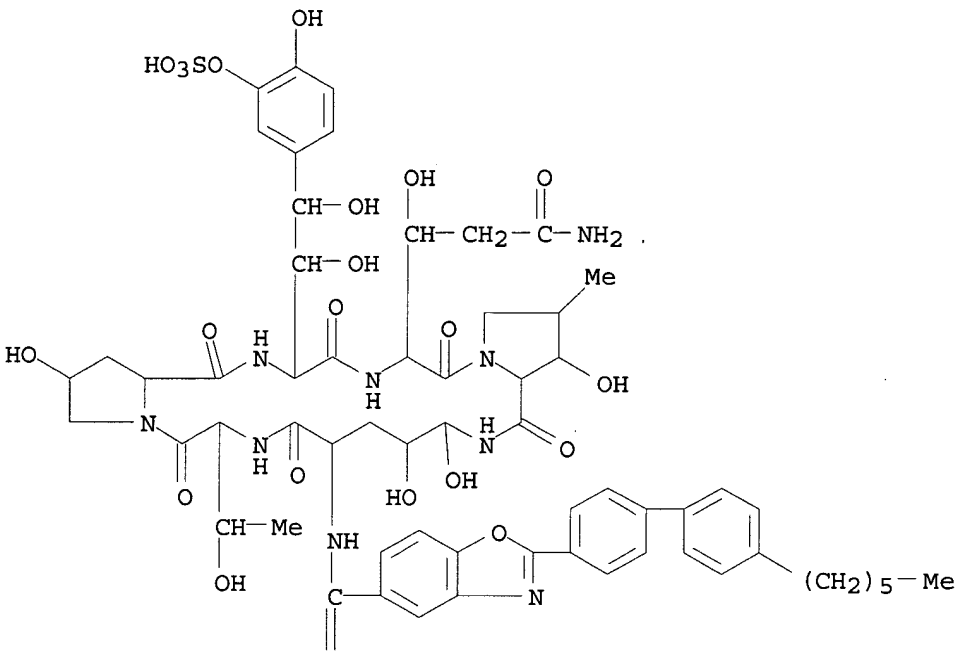


PAGE 2-A

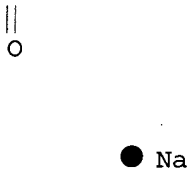
RN 179165-95-8 CAPLUS

CN Proline, N2-[[2-(4'-hexyl[1,1'-biphenyl]-4-yl)-5-benzoxazolyl]carbonyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



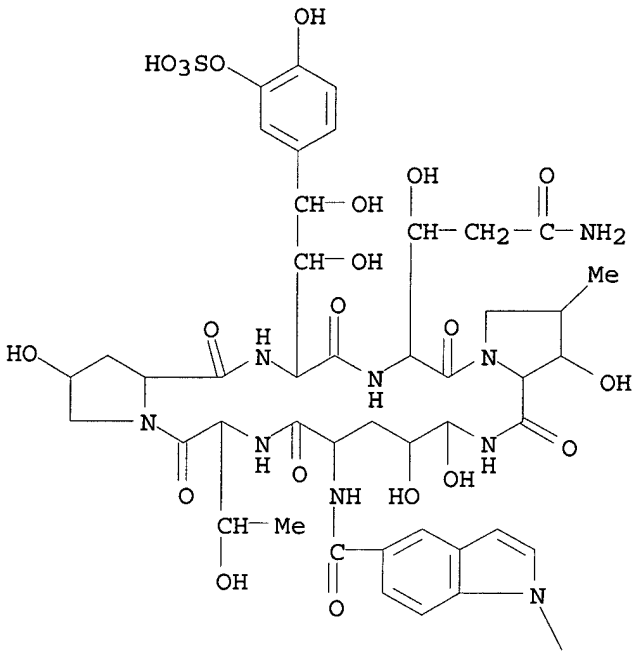
PAGE 2-A



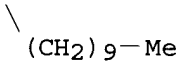
RN 179166-59-7 CAPLUS

CN Proline, N2-[(1-decyl-1H-indol-5-yl)carbonyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6.fwdarw.1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



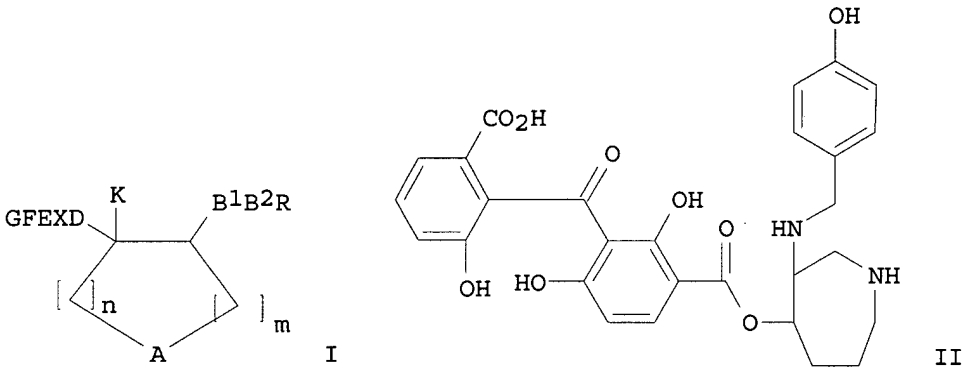
L12 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1995:794873 CAPLUS
DN 123:198645
TI Preparation of balanoids as protein kinase C inhibitors
IN Hall, Steven Edward; Ballas, Lawrence M.; Kulanthaivel, Palaniappan; Boros, Christie; Jiang, Jack B.; Jagdmann, Gunnar Erik, Jr.; Lai, Yen-Shi; Biggers, Christopher K.; Hu, Hong; et al.
PA Nichols, Gina M., USA; Sphinx Pharmaceuticals Corporation
SO PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9420062	A2	19940915	WO 1994-US2283	19940302
	WO 9420062	A3	19960815		
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2157412	AA	19940915	CA 1994-2157412	19940302
	AU 9462527	A1	19940926	AU 1994-62527	19940302

	EP 687249	A1	19951220	EP 1994-909847	19940302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09503994	T2	19970422	JP 1994-520148	19940302
	ZA 9401478	A	19950905	ZA 1994-1478	19940303
PRAI	US 1993-25846		19930303		
	WO 1994-US2283		19940302		

OS MARPAT 123:198645

GI



AB Title compds. [I; A = CH2, NR1, O, S, SO2; B1 = NR2, CH2, O; B2 = CO, CS, SO2; D = NR3 = O, CH2; E = R5, (un)substituted (hetero)arylene; F = CO or CH2; G = R7, cycloalkyl, (un)substituted (hetero)aryl; K = H, alkyl; R = R4, (un)substituted Ph, (hetero)aryl; R1-R4, R7 = H, alkyl, aryl, etc.; R5 = alkyl, aryl; X = CO, CS, CH2, etc.; m,n = 1-4] were prepd. Thus, title compd. (-)-trans-II (prepn. given) gave 100% inhibition of protein kinase C .beta.2 at 0.5.mu.M.

IT **167828-63-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of balanoids as protein kinase C inhibitors)

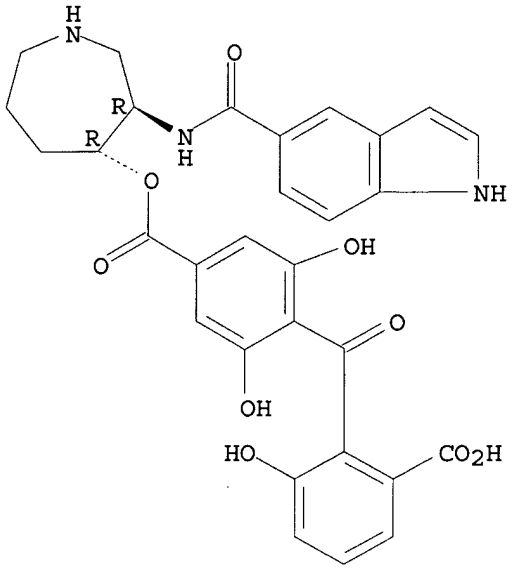
RN 167828-63-9 CAPLUS

CN Benzoic acid, 4-(2-carboxy-6-hydroxybenzoyl)-3,5-dihydroxy-, 1-[hexahydro-3-[(1H-indol-5-ylcarbonyl)amino]-1H-azepin-4-yl] ester, trans-, trifluoroacetate (10:19) (salt) (9CI) (CA INDEX NAME)

CM 1

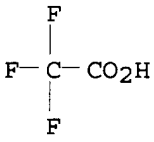
CRN 167828-62-8
CMF C30 H27 N3 O9

Relative stereochemistry.



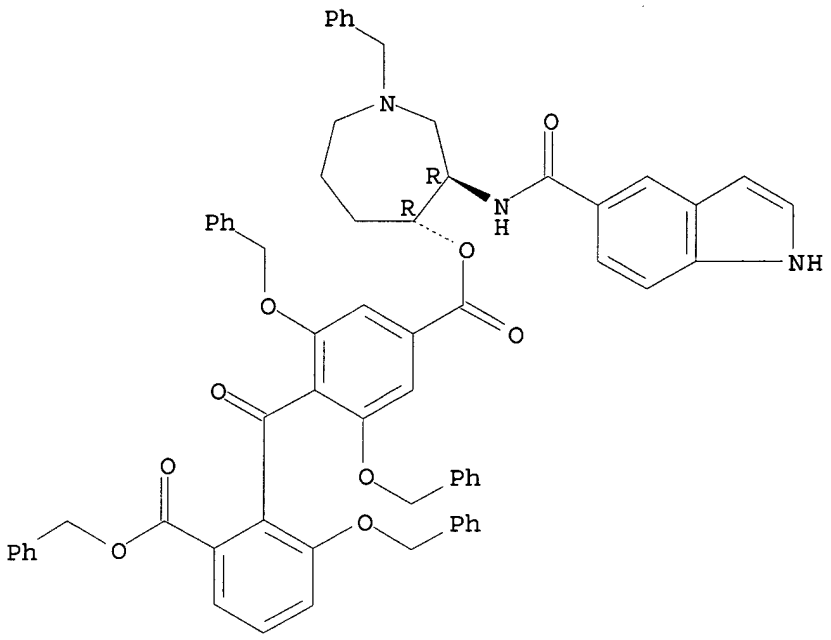
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **167830-88-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of balanoids as protein kinase C inhibitors)
RN 167830-88-8 CAPLUS
CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, hexahydro-3-[(1H-indol-5-ylcarbonyl)amino]-1-(phenylmethyl)-1H-azepin-4-yl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



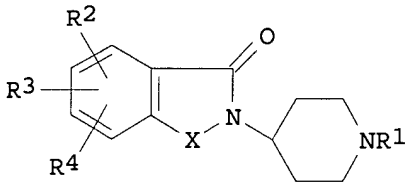
L12 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1995:568500 CAPLUS
DN 123:169516
TI Preparation of acylaminopiperidines and piperazines as inhibitors of microsomal triglyceride transfer protein.
IN Wetterau, John R., II; Sharp, Daru Young; Gregg, Richard E.; Biller, Scott A.; Dickson, John K.; Lawrence, Michael R.; Lawson, John E.; Holava, Henry M.; Partyka, Richard A.
PA Bristol-Myers Squibb Co., USA
SO Eur. Pat. Appl., 134 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 643057	A1	19950315	EP 1994-113800	19940902
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2091102	AA	19930907	CA 1993-2091102	19930305
	ZA 9301601	A	19931005	ZA 1993-1601	19930305
	HU 67962	A2	19950529	HU 1993-627	19930305
	HU 218419	B	20000828		
	JP 06038761	A2	19940215	JP 1993-46499	19930308
	EP 584446	A2	19940302	EP 1993-103697	19930308
	EP 584446	A3	19950426		
	EP 584446	B1	20020619		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 219514	E	20020715	AT 1993-103697	19930308
	ES 2178640	T3	20030101	ES 1993-103697	19930308
	AU 670930	B2	19960808	AU 1993-34064	19930309
	AU 9334064	A1	19930909		
	US 5595872	A	19970121	US 1993-117362	19930903
	CA 2131430	AA	19950304	CA 1994-2131430	19940902
	FI 9404048	A	19950304	FI 1994-4048	19940902
	NO 9403260	A	19950306	NO 1994-3260	19940902
	AU 9471642	A1	19950316	AU 1994-71642	19940902
	AU 690125	B2	19980423		
	ZA 9406772	A	19950403	ZA 1994-6772	19940902

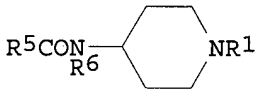
	JP 07165712	A2	19950627	JP 1994-210057	19940902
	CN 1106003	A	19950802	CN 1994-115640	19940902
	HU 70613	A2	19951030	HU 1994-2542	19940902
	US 5789197	A	19980804	US 1995-486924	19950607
	US 6492365	B1	20021210	US 1995-486929	19950607
PRAI	US 1993-117362	A	19930903		
	US 1992-847503	A	19920306		
	US 1993-15449	B2	19930222		

OS MARPAT 123:169516

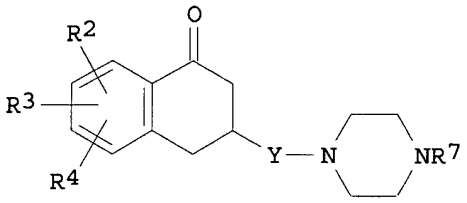
GI



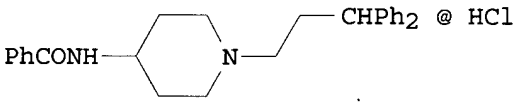
I



II



III



IV

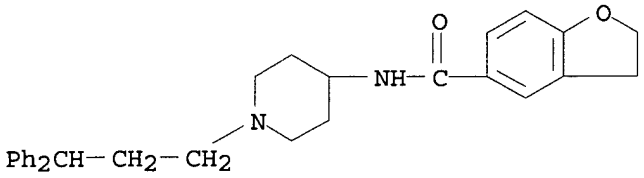
AB Title compds. [I-III; X = CHR8, CHR9CHR10, CR9:CR10; R8-R10 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl; Y = (CH2)m, CO; m = 2, 3; R1 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, diarylalkyl, diarylalkenyl, diarylalkynyl, diarylalkylaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, etc.; R2-R4 = H, halo, alkyl, haloalkyl, alkenyl, alkoxy, aryloxy, aryl, arylalkyl, alkylthio, arylthio, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, OH, haloalkyl; R5 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, cycloalkenyl, cycloalkenylalkyl, heteroarylcarbonyl, etc.; R6 = H, alkyl, alkenyl; R7 = alkyl, aryl, aralkyl, oxoalkyl, aryloxoalkyl], were prepd. as inhibitors of microsomal triglyceride transfer protein. Thus, tert-Bu 4-piperidinylcarbamate (prepn. given) and 3,3-diphenyl-1-propanol tosylate (prepn. given) were stirred with K2CO3 in Me2CHOH overnight to give 76% tert-Bu [1-(3,3-diphenylpropyl)-4-piperidinyl]carbamate. This was deprotected with 4N HCl in dioxane and the product was treated with PhCOCl and Et3N in CH2Cl2 to give title compd. (IV).

IT **163267-06-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylaminopiperidines and piperazines as inhibitors of microsomal triglyceride transfer protein)

RN 163267-06-9 CAPLUS

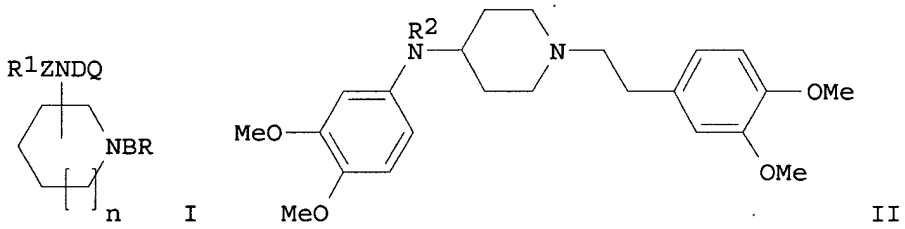
CN 5-Benzofurancarboxamide, N-[1-(3,3-diphenylpropyl)-4-piperidinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



L12 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1995:401268 CAPLUS
DN 122:187402
TI Preparation of N-(1-aralkylpiperidyl)(hetero)arenecarboxamides and analogs as antiarrhythmics
IN Nadler, Guy Marguerite Marie Gerard; Morvan, Marcel Jean-Marie
PA SmithKline Beecham Laboratories Pharmaceutiques, Fr.
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9427967	A1	19941208	WO 1994-EP1704	19940524
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2705674	A1	19941202	FR 1993-6290	19930526
	FR 2705674	B1	19960105		
	FR 2708607	A1	19950210	FR 1993-9326	19930729
	AU 9469717	A1	19941220	AU 1994-69717	19940524
	ZA 9403594	A	19950420	ZA 1994-3594	19940524
	EP 700385	A1	19960313	EP 1994-918376	19940524
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 09501404	T2	19970210	JP 1994-500224	19940524
PRAI	FR 1993-6290		19930526		
	FR 1993-9326		19930729		
	WO 1994-EP1704		19940524		

OS MARPAT 122:187402
GI

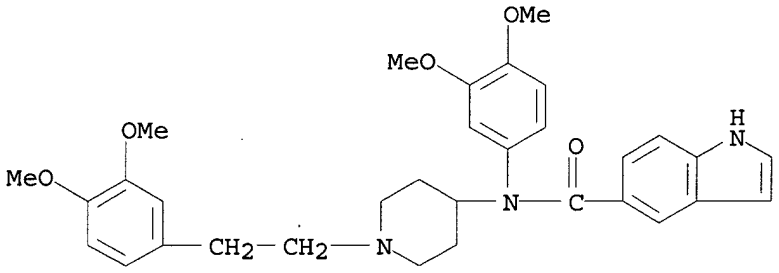


AB Title compds. [I; B = (alkyl-substituted) alkylene; D = CO, SO2, NHCO, CH:CH, etc.; Q = aryl[alk(en)yl], heterocyclyl; R = (un)substituted aryl; R1 = (un)substituted Ph; Z = bond, (CH2)1-4, OCH2CH2, SCH2CH2; n = 0-2] were prepd. Thus, 3,4-(MeO)2C6H3CH2CH2OSO2Me was condensed with

4-piperidone and the product reductively aminated by 3,4-(MeO)2C6H3NH2 to give piperidineamine II (R2= H) which was acylated by 4-(O2N)C6H4COCl to give II [R2 = 4-(O2N)C6H4CO]. Data for effect of the latter on action potential duration of isolated guinea pig papillary muscle were given in graphic form.

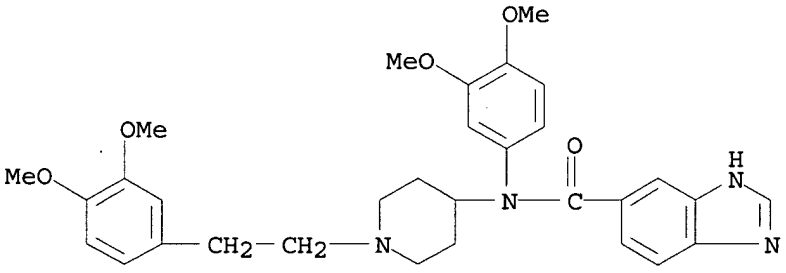
IT **161397-79-1P 161397-85-9P 161397-94-0P**
161398-00-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(1-aralkylpiperidyl)(hetero)arenecarboxamides and analogs as antiarrhythmics)

RN 161397-79-1 CAPLUS
CN 1H-Indole-5-carboxamide, N-(3,4-dimethoxyphenyl)-N-[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



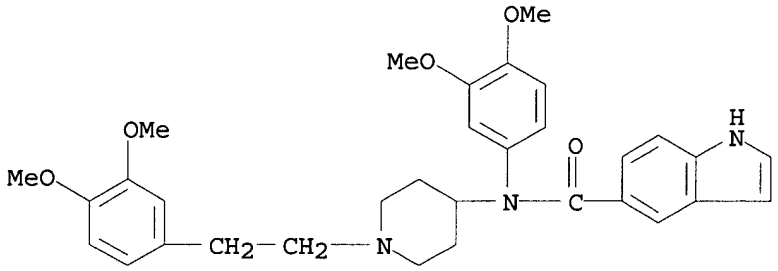
● HCl

RN 161397-85-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(3,4-dimethoxyphenyl)-N-[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

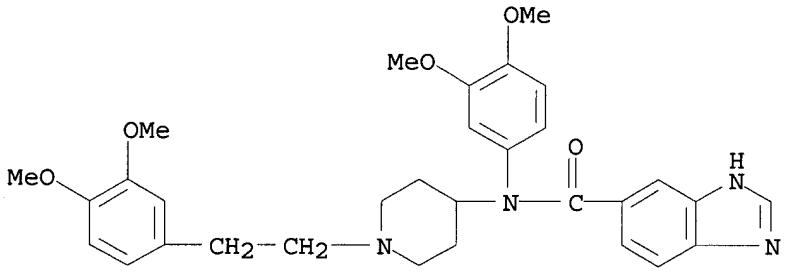


●2 HCl

RN 161397-94-0 CAPLUS
CN 1H-Indole-5-carboxamide, N-(3,4-dimethoxyphenyl)-N-[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



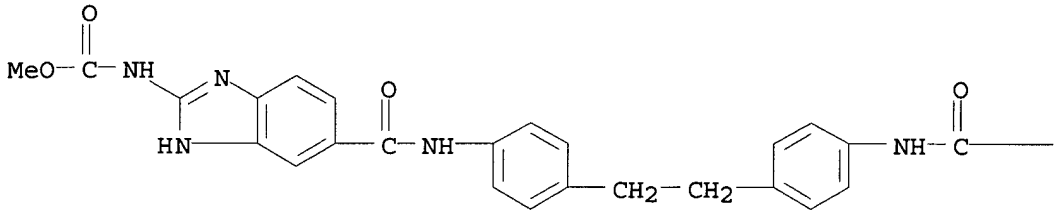
RN 161398-00-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(3,4-dimethoxyphenyl)-N-[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



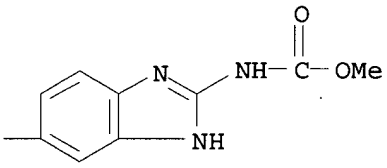
L12 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1994:124172 CAPLUS
DN 120:124172
TI Segregation of activity profile in benzimidazoles: effect of spacers at 5(6)-position of methyl benzimidazole-2-carbamates
AU Agarwal, Shiv K.; Sharma, Satyavan; Bhaduri, A. P.
CS Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226001, India
SO Zeitschrift fuer Naturforschung, C: Journal of Biosciences (1993), 48(11-12), 829-38
CODEN: ZNCBDA; ISSN: 0341-0382
DT Journal
LA English
AB The design and synthesis of a series of Me 5(6)-substituted benzimidazole-2-carbamates as potential anthelmintics are described. A rational anal. of the structural parameters which segregate the activity of resulting benzimidazole-2-carbamates against enteric and tissue dwelling helminths is presented. The influence of single and multiple spacers, which link the pharmacophores at 5(6)-position of benzimidazole-2-carbamate, on the activity against Ancylostoma ceylanicum (hookworm), Syphacia obvelata (pinworm), Hymenolepis nana (tapeworm) Litomosoides carinii and Acanthocheilonema viteae (filarial worm) has been presented. This anal. indicates that for activity against intestinal helminth the presence of one spacer holding the pharmacophore approx. 3 .ANG. apart from the parent nucleus is usually preferred. While for activity against tissue dwelling parasite, the repetition of the benzimidazole-2-carbamate nucleus joined together through the 5,5'-position with one spacer kept apart by distance of 3 .ANG. unit is usually desired.
IT **153213-47-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthelmintic activity of, structure-activity relations in)

RN 153213-47-9 CAPLUS
CN Carbamic acid, [1,2-ethanediylbis(4,1-phenyleneiminocarbonyl-1H-benzimidazole-5,2-diyl)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



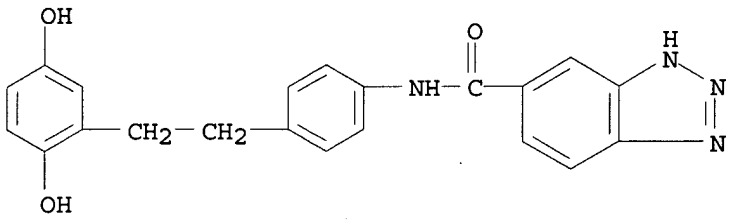
L12 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1993:528300 CAPLUS
DN 119:128300
TI Color photographic material containing novel yellow coupler
IN Aida, Shunichi; Ogawa, Akira
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 72 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04340959	A2	19921127	JP 1991-141383	19910517
PRAI JP 1991-141383		19910517		

AB In a full-color photog. material, .gtoreq.1 of the blue-sensitive layer(s) contains coupler I or II (X1, X2 = alkyl, aryl, heterocyclyl; X3 = group to form a heterocycle with N; Y = aryl, heterocyclyl; Z = group releasable when reacting with oxidized developer), and a compd. X1-A-X2 (X1, X2 = OR1, NR2R2(R1 = H, group hydrolyzing to give H; R2, R3 = H, alkyl, aryl, heterocyclyl, alkylsulfonyl, arylsulfonyl, heterocyclocarbonyl, alkylcarbonyl, arylcarbonyl, sulfamoyl, carbamoyl); A = arylene; H contained in .gtoreq.1 of X1, X2, A may be substituted by an adsorption promotor for Ag halide grains. The photog. material shows superior image sharpness, low humidity-dependence at time of exposure and good pressure-resistance.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, as photog. emulsion additive for high color rendition)

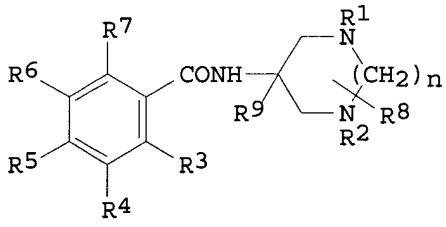
RN 137361-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



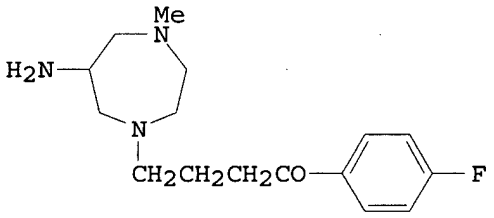
L12 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1993:169124 CAPLUS
DN 118:169124
TI Preparation of diazepine-substituted benzamide derivatives
IN Kon, Tatsuya; Kato, Shiro; Morie, Toshiya; Harada, Hiroshi; Ito, Tsugitaka; Yoshida, Naoyuki
PA Dainippon Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04210970	A2	19920803	JP 1991-25316	19910125
PRAI JP 1990-16579		19900126		

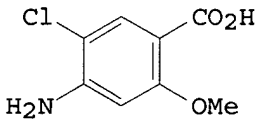
OS MARPAT 118:169124
GI



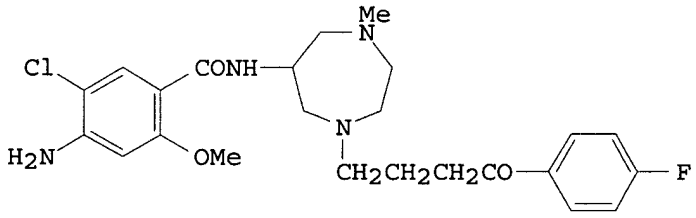
I



II



III



IV

AB The title compds. [I; R1 = H, alkyl; R2 = aralkyl, aroylalkyl, etc., R3 = H, halo, OH, alkoxy; R4 = H, halo, alkoxy; R5 = H, halo, NH2,

(di)alkylamino; R1 = H, halo; R7 = H, alkoxy; R8 = H, alkyl; R9 = H, alkyl; n = 1-3], useful as 5-HT3 antagonists, vitamin D2 antagonists, and antiemetics, are prepd. Amidation of 1.18 g amine compd. II and 0.81 g acid deriv. III in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide in CHCl2 at room temp. gave 1.57 g IV, isolated as its dioxalate salt. Among 70 addnl. I prepd., 10 showed ID50 of 2-18 .mu.g/kg i.v. in rats against von Bezold-Jarisch reflex and IC50 of 11-31 nM against dopamine receptor binding.

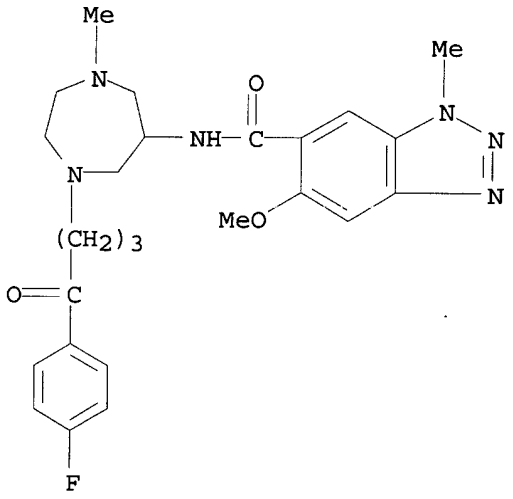
IT **146760-79-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT antagonists and antiemetic agent)

RN 146760-79-4 CAPLUS

CN 1H-Benzotriazole-6-carboxamide, N-[1-[4-(4-fluorophenyl)-4-oxobutyl]hexahydro-4-methyl-1H-1,4-diazepin-6-yl]-5-methoxy-1-methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

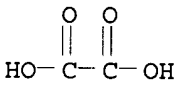
CM 1

CRN 146760-78-3
CMF C25 H31 F N6 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4



L12 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1993:13896 CAPLUS
DN 118:13896
TI Method for processing silver halide photographic material
IN Sasaoka, Senzo
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DT Patent

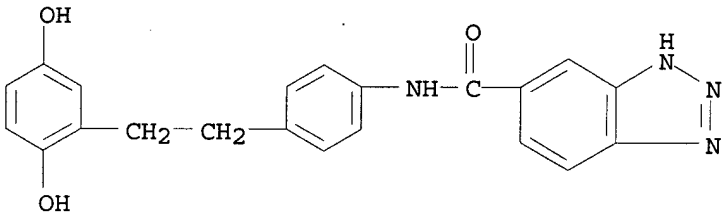
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04161951	A2	19920605	JP 1990-287602	19901025
	US 5272046	A	19931221	US 1991-787323	19911024
PRAI	JP 1990-287602		19901025		

AB In the title method for processing the title material by using an automatic development machine, the fixing soln. has a pH ·.gtoreq.5.3 and contains sulfite ions at a concn. of 0.05 to 1 mol/L. The fixing soln. contains a water-sol. Al compd. at a concn. of 0 to 0.01 mol/L. The amt. of gelatin in the protecting layer on the support side having Ag halide emulsion layers is .ltoreq.1 g/m2. The title material also contains a hydroquinone deriv. The title method is highly efficient.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, for photog. materials)

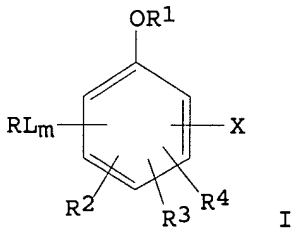
RN 137361-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1992:661550 CAPLUS
DN 117:261550
TI Silver halide photographic material containing polyoxyethylene surfactant
IN Itabashi, Masamichi
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04161949	A2	19920605	JP 1990-287605	19901025
PRAI	JP 1990-287605		19901025		

GI



I

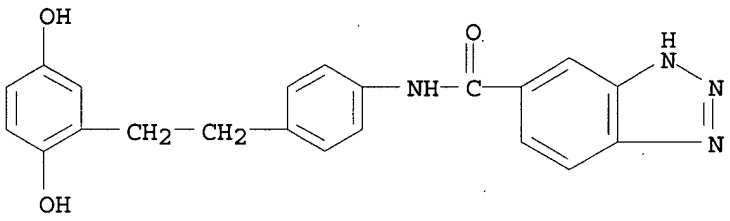
AB The material, having .gtoreq.1 photosensitive Ag halide emulsion layer,

contains a polyoxyethylene surfactant and I (X = OR1,NR5R6; R1 = H, group forming H by hydrolysis; R2-4 = H, substituent; R5-6 = H, alkyl, aryl, alkylsulfonyl, arylsulfonyl, alkylcarbonyl, arylcarbonyl, carbamoyl; R = adsorption-accelerating group for Ag halide; L = divalent linking group; and m = 0, 1). The material showed good sensitivity and low blackening.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, silver halide photog. material contg.)

RN 137361-18-3 CAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2003 ACS

AN 1992:581699 CAPLUS

DN 117:181699

TI Silver halide photographic daylight material

IN Goto, Takahiro

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

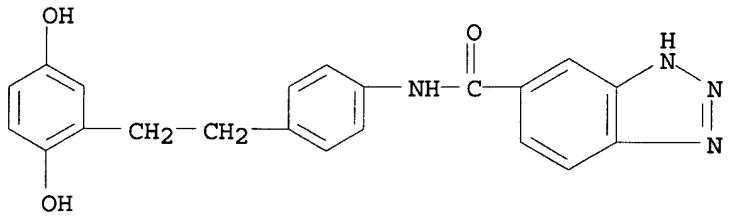
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04163544	A2	19920609	JP 1990-291398	19901029
PRAI JP 1990-291398		19901029		

AB In the material having a Ag halide emulsion layer contg. .gtoreq.1 .times. 10-6 mol Rh salt (for 1 mol Ag) and non-chem.-sensitized AgBrCl grains contg. .gtoreq.15 mol% AgBr, the emulsion layer or other hydrophilic colloid layers contain .gtoreq.1 X1AX2 (X1-2 = OR1, NR2R3; R1 = H, group which will become H by hydrolysis; R2-3 = H, alkyl, aryl, heterocyclic group, alkylsulfonyl, arylsulfonyl, heterocyclic sulfonyl, alkylcarbonyl, arylcarbonyl, heterocyclic carbonyl, sulfamoyl, carbamoyl; A = arylene; X1, X2, and(or) A has .gtoreq.1 H substituted with adsorption-accelerating group for Ag halide grains). The material showed low d. decrease under exposure in daylight.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, silver halide photog. daylight material contg., for concn. decrease prevention)

RN 137361-18-3 CAPLUS

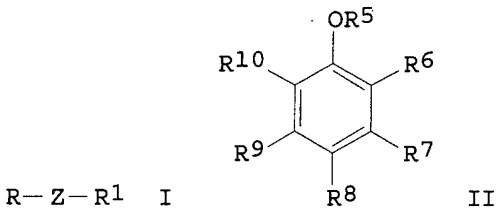
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1992:521401 CAPLUS
DN 117:121401
TI Silver halide photographic material and method for processing the same
IN Toya, Ichizo; Kuwabara, Mikizo; Kawamoto, Hiroshi
PA Fuji Photo Film Co., Ltd., Japan
SO Eur. Pat. Appl., 53 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 476521	A2	19920325	EP 1991-115468	19910912
	EP 476521	A3	19930203		
	EP 476521	B1	19971203		
	R: BE, DE, FR, GB				
	JP 04121731	A2	19920422	JP 1990-242219	19900912
	JP 04155330	A2	19920528	JP 1990-280457	19901018
	US 5283161	A	19940201	US 1991-757758	19910911
PRAI	JP 1990-242219		19900912		
	JP 1990-280457		19901018		

GI

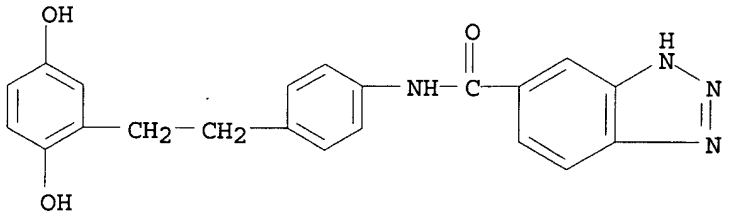


R—Z—R1 I II

AB Photog. film for radiog. is described which has reduced pressure sensitivity, does not contaminate intensifying screens, and can be processed rapidly (15-45 s). The film contains a total amt. of a binder on one side of the support (in emulsion-, protective-, and other layers) at .ltoreq.3 g/m2, and contains .gtoreq.1 compd. I and II (R, R2 = OR2, NR3R4 where R2 = H or a group converting to H on hydrolysis; R3,R4 = H, alkyl, aryl, heterocycle, alkyl(aryl)sulfonyl or heterocyclic sulfonyl, alkyl(aryl) carbonyl or heterocyclic carbonyl, sulfamoyl, carbamoyl, Z is substituted with a group which accelerates adsorption onto Ag halide grains; R5 = H or alkali removable protective group, R6-R20 = H or a substituent provided the total no. of C atoms in R6-R10 is .gtoreq.6 and .gtoreq.1 of R8 and R10 represents OH, sulfonamide, carbonamido; R6-R10 and OR5 may form a ring. Both I and II are preferably added to the photog. emulsion layer.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, for photog. film for radiog.)

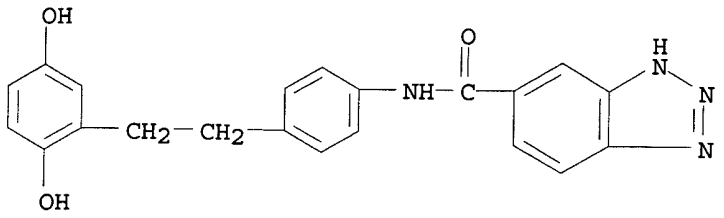
RN 137361-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1992:500872 CAPLUS
DN 117:100872
TI Silver halide photographic material
IN Takada, Shunji; Suga, Yoichi; Kawamoto, Hiroyuki
PA Fuji Photo Film Co., Ltd., Japan
SO Eur. Pat. Appl., 108 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 482599	A1	19920429	EP 1991-118054	19911023
	EP 482599	B1	19960724		
	R: DE, FR, GB, NL				
	JP 04158354	A2	19920601	JP 1990-284771	19901023
	JP 04172339	A2	19920619	JP 1990-299659	19901105
	US 5643711	A	19970701	US 1994-179571	19940110
PRAI	JP 1990-284771		19901023		
	JP 1990-299659		19901105		
	US 1991-780341		19911022		

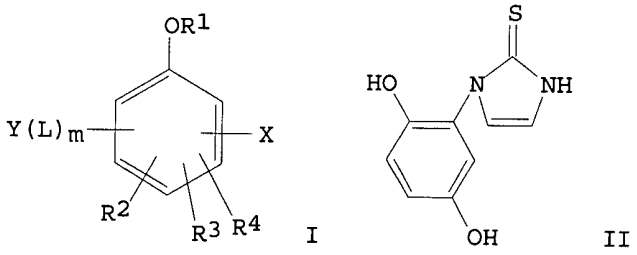
OS MARPAT 117:100872
AB A Ag halide color photog. material having improved resistance to pressure comprises one or more Ag halide emulsion layers on a support, wherein the Ag halide emulsion layers contain tabular Ag halide grains having an av. aspect ratio .gtoreq.2 and a compd. (or its oxidized product) having the formula Z1AX2 (x1, X2 = OR1 or NR2R3 where R1 = H or a group capable of being a H atom by hydrolysis; R2, R3 = H, alkyl, aryl, heterocyclyl, heterocyclic sulfonyl, heterocyclic carbonyl, sulfamoyl, or carbamoyl; A = arylene or in .gtoreq.1 of X1, X2, and A, the H atom contained therein is substituted by an adsorption-accelerating group to a Ag halide grain).
IT **137361-18-3**
RL: USES (Uses)
(color photog. emulsions contg. tabular silver halide grains and, with improved pressure resistance)
RN 137361-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2003 ACS
AN 1991:643858 CAPLUS
DN 115:243858
TI Silver halide photographic material
IN Sasaoka, Senzo; Yagihara, Morio
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03067243	A2	19910322	JP 1990-94551	19900410
	EP 452772	A1	19911023	EP 1991-105559	19910409
	EP 452772	B1	19970716		
	R: DE, FR, GB, IT				
	US 5374499	A	19941220	US 1993-161451	19931206
PRAI	JP 1989-120640		19890515		
	JP 1990-94551		19900410		
	US 1990-522875		19900514		
	US 1991-794672		19911118		
	US 1992-985446		19921203		

GI



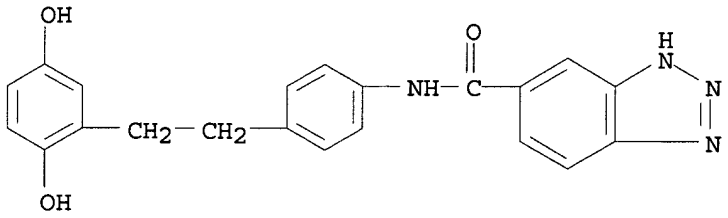
I

II

AB In the title material comprising surface latent image-forming Ag halide emulsion layers on a support, the emulsion layers and/or other layers contain phenol deriv. I (X = OR1, NR5R6; R1 = H, or OR1 = group which yields OH upon hydrolysis; R2-4 = H, substituent; R5,R6 = H, alkyl, aryl, alkylsulfonyl, etc.; Y = group promoting adsorption to Ag halide; L = divalent linking group; m = 0 or 1). Hydroquinone deriv. II is an example of I. The material shows high sensitivity.

IT **137361-18-3P**
RL: PREP (Preparation)
(prepn. of, as additive in silver halide emulsion)

RN 137361-18-3 CAPLUS
CN 1H-Benzotriazole-5-carboxamide, N-[4-[2-(2,5-dihydroxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)


OH
O
H
N
NH
C
N
CH2
CH2
N
OH